Connecting via Winsock to STN

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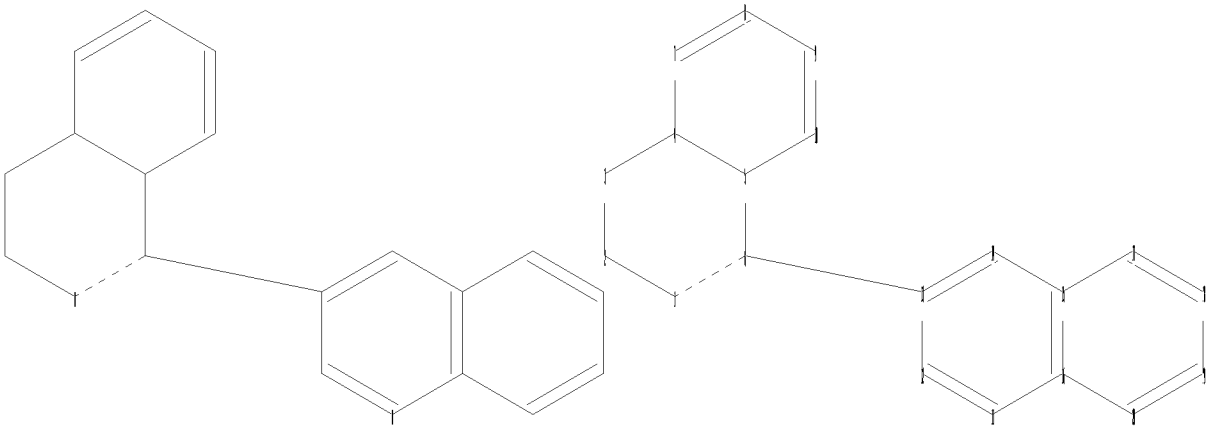
FILE 'HOME' ENTERED AT 14:03:52 ON 28 JUL 2009

=> file reg

=> file reg

=>
Uploading C:\Program Files\Stnexp\Queries\10587100.str

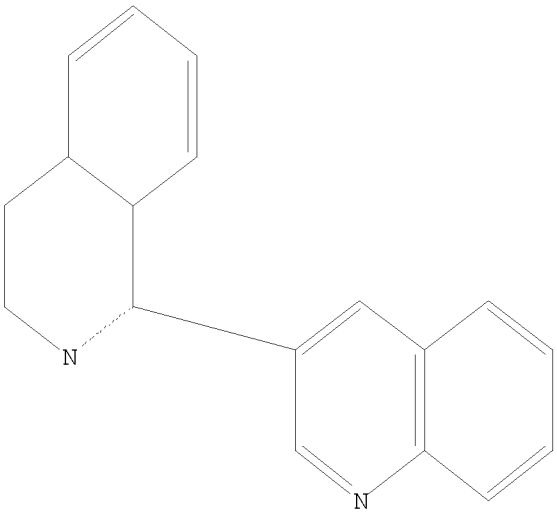


ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20
chain bonds :
6-13
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16 15-17 16-20 17-18 18-19 19-20
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
exact bonds :
6-13
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16 15-17 16-20 17-18 18-19 19-20
isolated ring systems :
containing 11 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam
SAMPLE SEARCH INITIATED 14:04:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 819 TO ITERATE

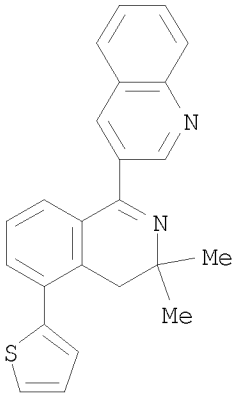
100.0% PROCESSED 819 ITERATIONS 28 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 14664 TO 18096
PROJECTED ANSWERS: 243 TO 877

L2 28 SEA SSS SAM L1

=> d scan

L2 28 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Quinoline, 3-[3,4-dihydro-3,3-dimethyl-5-(2-thienyl)-1-isoquinolinyl]-
MF C24 H20 N2 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> s l1 full
FULL SEARCH INITIATED 14:04:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 16407 TO ITERATE

100.0% PROCESSED 16407 ITERATIONS 602 ANSWERS
SEARCH TIME: 00.00.01

L3 602 SEA SSS FUL L1

=> file ca

=> s l3
L4 15 L3

=> d ibib abs fhitstr hitrn 1-15

L4 ANSWER 1 OF 15 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 151:92844 CA
TITLE: Method using lifespan-altering compounds for altering the lifespan of eukaryotic organisms, and screening for such compounds
INVENTOR(S): Goldfarb, David Scott
PATENT ASSIGNEE(S): University of Rochester, USA
SOURCE: U.S. Pat. Appl. Publ., 57pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090163545 A1		20090625	US 2008-XI341615	20081222
PRIORITY APPLN. INFO.:			US 2007-16362P	20071221

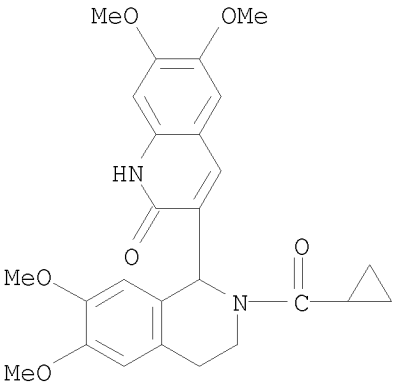
US 2008-23801P 20080125

AB The invention discloses a method for altering the lifespan of a eukaryotic organism. The method comprises the steps of providing a lifespan-altering compound, and administering an effective amount of the compound to a eukaryotic organism, such that the lifespan of the organism is altered. In one embodiment, the compound is identified using the DeaD assay. [This abstract record is one of 20 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 838097-35-1
RL: PAC (Pharmacological activity); BIOL (Biological study)
(method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

RN 838097-35-1 CA

CN 2(1H)-Quinolinone, 3-[2-(cyclopropylcarbonyl)-1,2,3,4-tetrahydro-6,7-dimethoxy-1-isoquinolinyl]-6,7-dimethoxy- (CA INDEX NAME)



IT 838097-35-1
RL: PAC (Pharmacological activity); BIOL (Biological study)
(method using lifespan-altering compds. for altering lifespan of eukaryotic organisms, and screening for such compds.)

L4 ANSWER 2 OF 15 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 148:578981 CA

TITLE: Soil- or seed-treating agents comprising quinoline compounds and salts thereof and plant disease control with quinolines

INVENTOR(S): Ito, Hiroyuki; Tamagawa, Yasushi; Tanaka, Harukazu; Ohara, Toshiaki

PATENT ASSIGNEE(S): Sankyo Agro Company, Limited, Japan

SOURCE: PCT Int. Appl., 70pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

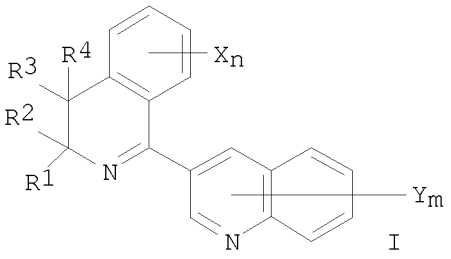
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008066148	A1	20080605	WO 2007-JP73143	20071130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,				

CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM

AU 2007326412	A1	20080605	AU 2007-326412		20071130
IN 2009KN02411	A	20090717	IN 2009-KN2411		20090629
PRIORITY APPLN. INFO.:			JP 2006-325344	A	20061201
			WO 2007-JP73143	W	20071130

OTHER SOURCE(S): MARPAT 148:578981
GI

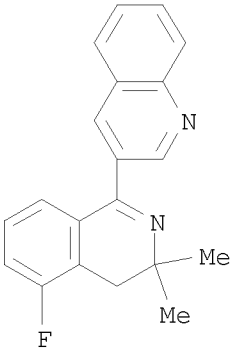


I

AB Soil- or seed-treating agents with an excellent controlling effect against various plant pathogens (particularly, Pyricularia oryzae) comprise ≥ 1 quinoline (I, e.g., where R1, R2 = (un)substituted alkyl, (hetero)aryl, etc.; R3, R4 = H, (un)substituted alkyl, halo, alkoxy, etc.; X = halo, (un)substituted alkyl, etc.; Y = halo, OH, etc.; n = 0-4; m = 0-6) or a salt thereof. Thus, when rice plants which had been sprayed with a Pyricularia oryzae spore suspension were grown on soil treated with 400 g/10 are of I (R1, R2 = Me; R3, R4 = H; Xn = 5-F; Ym = H), rice blast disease development was not observed 7 days after inoculation.

IT 861646-26-6
RL: AGR (Agricultural use); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(soil- or seed-treating agents comprising quinolines and salts thereof and their use for control of plant diseases)

RN 861646-26-6 CA
CN Quinoline, 3-(5-fluoro-3,4-dihydro-3,3-dimethyl-1-isoquinolinyl)- (CA INDEX NAME)



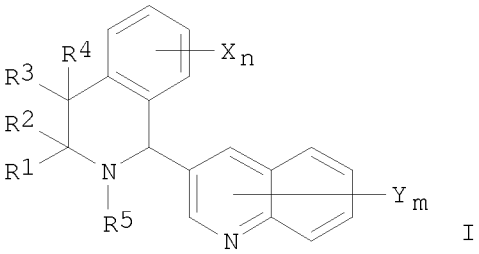
IT 861646-26-6 861646-33-5 861646-37-9
861646-70-0 861646-76-6 861646-87-9
861646-90-4 861647-31-6 861647-32-7
861647-73-6 861647-74-7 861647-84-9
861647-85-0 861648-36-4 861648-37-5
861648-43-3 861648-44-4 861648-48-8
861648-49-9 861648-62-6 861648-63-7
952022-89-8
RL: AGR (Agricultural use); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(soil- or seed-treating agents comprising quinolines and salts thereof and their use for control of plant diseases)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

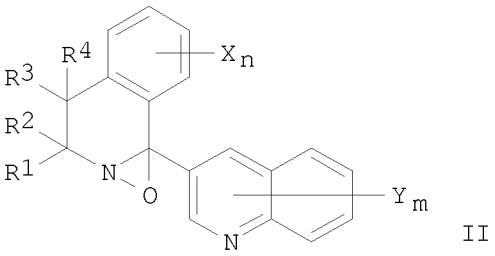
L4 ANSWER 3 OF 15 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:462227 CA
TITLE: Medical fungicides containing 3-[(di- or tetrahydro)isoquinolin-1-yl]quinolines
INVENTOR(S): Ito, Hiroyuki; Tamagawa, Yasushi
PATENT ASSIGNEE(S): Sankyo Agro Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 54pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007269686	A	20071018	JP 2006-96830	20060331
PRIORITY APPLN. INFO.:			JP 2006-96830	20060331

OTHER SOURCE(S): MARPAT 147:462227
GI



I



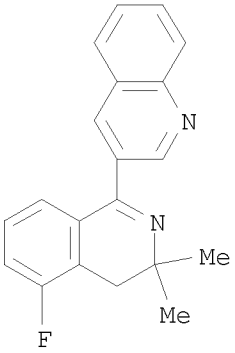
II

AB Medical fungicides contain title compds. I, II [R1, R2 = (un)substituted C1-6 alkyl, (un)substituted (hetero)aryl, (un)substituted aralkyl; R1CR2 may be linked to form (un)substituted C3-10 cycloalkyl; R3, R4 = H, (un)substituted C1-6 alkyl, halo, C1-6 alkoxy, OH; R3R4 may be linked to form C1-6 alkylidene; R3CR4 may be keto, (un)substituted C3-10 cycloalkyl; R5 = none, H, acyl, (un)substituted C1-6 alkyl, O; X = halo, (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, (un)substituted (hetero)aryl, etc.; Y = halo, C1-6 alkyl, C1-6 alkoxy, OH; n = 0-4; m = 0-6; the doted line may be double bond], or their salts as active ingredients. Thus, I (R1 = R2 = Me, R3 = R4 = Ym = H, R5 = none, Xn = 5-F; the doted line is double bond) at 100 ppm showed ≥80% antifungal activity against Candida glabrata, Cryptococcus neoformans, and Aspergillus fumigatus, and at 10 ppm against Trichophyton mentagrophytes, T. rubrum, and Microsporum gypseum.

IT 861646-26-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medical fungicides containing [(di- or tetrahydro)isoquinolinyl]quinolines effective at low dose)

RN 861646-26-6 CA

CN Quinoline, 3-(5-fluoro-3,4-dihydro-3,3-dimethyl-1-isoquinolinyl)- (CA INDEX NAME)



IT 861646-26-6 861646-33-5 861646-37-9
861646-70-0 861646-76-6 861646-87-9
861647-31-6 861647-32-7 861647-59-8
861647-84-9 861647-85-0 952022-89-8
952022-90-1 952022-91-2 952022-92-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medical fungicides containing [(di- or tetrahydro)isoquinolinyl]quinolines effective at low dose)
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 4 OF 15 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:301004 CA
TITLE: Preparation of 1,2,3,4-tetrahydroquinolines and pesticides containing them
INVENTOR(S): Ito, Hiroyuki; Kajino, Fumie; Fujiwara, Kota; Morimoto, Soushi
PATENT ASSIGNEE(S): Sankyo Agro Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 45pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007217353	A	20070830	JP 2006-40318	20060217
PRIORITY APPLN. INFO.:			JP 2006-40318	20060217

OTHER SOURCE(S): MARPAT 147:301004
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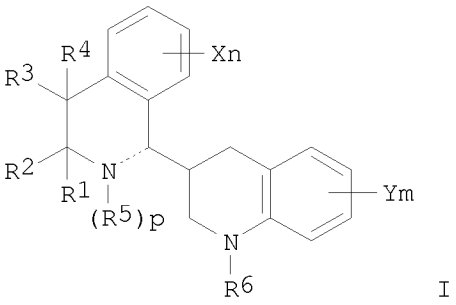


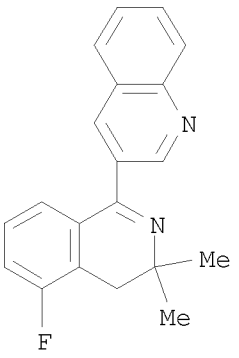
I

AB Title compds. I [the dot line is single or double bond; R1, R2 = (1-3 halo-substituted) C1-6 alkyl, (hetero)aryl; R1NR2 may be C3-10 cycloalkyl; R3, R4 = H, C1-6 alkyl, halo; R3CR4 may be C3-10 cycloalkyl; R5 = H, acyl, O, (aryl-substituted) C1-6 alkyl; R6 = H, acyl, (1-3 halo- or aryl-substituted) C1-6 alkyl; X = halo, C1-6 alkyl; Y = halo, C1-6 alkyl(oxy), OH; p = 0, 1; m, n = 0-4; when the dot line is single bond, then p = 1; R5 = H, acyl, (aryl-substituted) C1-6 alkyl; when the dot line is double bond, then p = 0, 1; R5 = O] are prepared Thus, I (the dot line is double bond; R1-R4 = Me, p = 0, R6 = Ym = H, Xn = 5-F) showed 100% fungicidal activity against Pyricularia oryzae and Botrytis cinerea.

IT 861646-26-6, 3-(5-Fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tetrahydroquinolines as agrochem. fungicides)

RN 861646-26-6 CA

CN Quinoline, 3-(5-fluoro-3,4-dihydro-3,3-dimethyl-1-isoquinolinyl)- (CA INDEX NAME)



IT 861646-26-6, 3-(5-Fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tetrahydroquinolines as agrochem. fungicides)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 5 OF 15 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:163036 CA
TITLE: Preparation of 3-(isoquinolin-1-yl)quinoline

derivatives as agrochemical and horticultural fungicides

INVENTOR(S): Ito, Hiroyuki; Komai, Hiroyuki; Fujiwara, Kota; Tanaka, Harukazu; Tamagawa, Yasushi; Kajino, Fumie

PATENT ASSIGNEE(S): Sankyo Agro Company, Limited, Japan

SOURCE: PCT Int. Appl., 114pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007011022	A1	20070125	WO 2006-JP314478	20060721

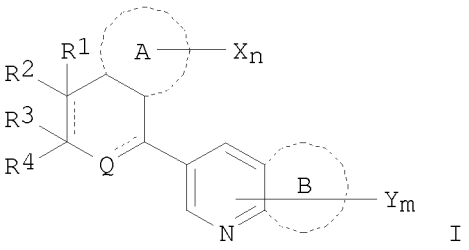
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2005-212324 A 20050722

OTHER SOURCE(S): MARPAT 146:163036

GI



I

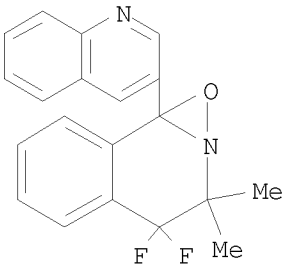
AB The title compds. (I) [the ring A, B = each (un)substituted benzene ring, C3-8 cycloalkyl ring optionally unsatd., or 5- or 6-membered heteroaryl ring containing 1-4 heteroatoms selected from O, N and S; R1-R4 = H, halogen, HO, acyloxy, acylthio, cyano, each (un)substituted C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 alkylsulfinyl, C1-6 alkylsulfonyl, C3-6 cycloalkyl, C3-6 cycloalkyloxy, C3-6 cycloalkylthio, C2-6 alkenyl, C2-6 alkenyloxy, C2-6 alkenylthio, C2-6 alkynyl, C2-6 alkynyloxy, C2-6 alkynylthio, aryl, arylthio, heteroaryl, heteroarylthio, aralkyl, aralkyloxy, or aralkylthio; or at least 2 of R1-R4 together form (un)substituted C3-8 cycloalkyl ring optionally containing 1-3 heteroatoms selected from O, N, and S; or (R3 and R4) or (R3 and R4) together

represent oxo; (R1 and R2) or (R3 and R4) together represent CH2; or (R1 and R3 or R4) or (R2 and R3 or R4) together represent a single bond; Q = N, (un)substituted NH; when n = an integer of 2-4, X = group A, O-(un)substituted N-hydroxy-C1-6 alkanimidoyl; when m = an integer of 2-6, Y = group A, HO; group A = halo, each (un)substituted C1-6 alkyl, C3-6 cycloalkyl, C2-6 alkenyl, C2-6 alkynyl, aryl, heteroaryl, C1-6 alkylthio, C1-6 alkylsulfinyl, C1-6 alkylsulfonyl, or NH2, acyl, cyano; n = an integer of 0-4; m = an integer of 0-6] or salts thereof are prepared These compds. show an excellent effect on a variety of plant pathogens, particularly for rice blast (Pyricularia oryzae), without causing damage to a host plant. Thus, 230 mg 2-chloroquinoline-3-carbonitrile and 350 mg 3-(2-fluorophenyl)-2,3-dimethylbutan-2-ol were added to 1.0 mL H2SO4 and stirred at room temperature for 1 h. The reaction mixture was poured into H2O and made alkaline by adding aqueous NH3 solution and extracted with EtOAc to give, after purification by TLC, 9% 2-chloro-3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)quinoline (II). II and 3-(5-fluoro-3,4-dihydroisoquinolin-1-yl)quinoline at 300 ppm completely controlled Botrytis cinerea on tomato seedlings and Pyricularia oryzae on rice seedlings, resp.

IT 861648-43-3P, 4,4-Difluoro-3,3-dimethyl-8b-(quinolin-3-yl)-4,8b-dihydro-3H-oxazireno[3,2-a]isoquinoline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 3-(isoquinoline-1-yl)quinoline derivs. as agrochem. and horticultural fungicides)

RN 861648-43-3 CA

CN 3H-1,2-Oxazirino[3,2-a]isoquinoline, 4,4-difluoro-4,8b-dihydro-3,3-dimethyl-8b-(3-quinolinyl)- (CA INDEX NAME)



IT 861648-43-3P, 4,4-Difluoro-3,3-dimethyl-8b-(quinolin-3-yl)-4,8b-dihydro-3H-oxazireno[3,2-a]isoquinoline 861648-62-6P, 3-(4,4-Difluoro-3,3-dimethyl-2-oxo-3,4-dihydroisoquinolin-1-yl)quinoline
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 3-(isoquinoline-1-yl)quinoline derivs. as agrochem. and horticultural fungicides)

IT 919786-21-3P, 3-(5-Fluoro-3,4-dihydroisoquinolin-1-yl)quinoline 919786-74-6P, 3-(4,4-Difluoro-2-hydroxy-3,3-dimethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline
RL: AGR (Agricultural use); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 3-(isoquinoline-1-yl)quinoline derivs. as agrochem. and

horticultural fungicides)
IT 919786-18-8P, 2-Chloro-3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-20-2P, 3-(5-Fluoroisoquinolin-1-yl)quinoline 919786-22-4P, 3-(5-Fluoro-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-23-5P, 3-(6-Fluoro-3,4-dihydroisoquinolin-1-yl)quinoline 919786-24-6P, 3-(7-Fluoro-3,4-dihydroisoquinolin-1-yl)quinoline 919786-25-7P, 3-(5-Chloro-3,4-dihydroisoquinolin-1-yl)quinoline 919786-26-8P, 3-(6-Chloro-3,4-dihydroisoquinolin-1-yl)quinoline 919786-27-9P, 3-(7-Chloro-3,4-dihydroisoquinolin-1-yl)quinoline 919786-28-0P, 3-(5-Bromo-3,4-dihydroisoquinolin-1-yl)quinoline 919786-29-1P, 3-(7-Methyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-30-4P, 3-(6-Methoxy-3,4-dihydroisoquinolin-1-yl)quinoline 919786-31-5P, 3-(6,7-Dimethoxy-3,4-dihydroisoquinolin-1-yl)quinoline 919786-32-6P, 3-(4-Methyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-33-7P, 3-(5-Fluoro-4-methyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-34-8P, 3-(5-Fluoro-4-ethyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-35-9P, 3-(5-Fluoro-4-propyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-36-0P, 3-(3-Methyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-37-1P, 3-(5-Chloro-3-methyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-38-2P, 3-(5-Fluoro-3-methyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-39-3P, 3-(5-Fluoro-3,4-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-40-6P, 3-(5-Fluoro-3-methyl-4-ethyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-41-7P, 3-(5-Fluoro-3-methyl-4-propyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-42-8P, 3-(5-Chloro-3,4-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-43-9P, 3-(5-Fluoro-3-ethyl-4-methyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-44-0P, 3-(4,4-Dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-45-1P , 1'-(Quinolin-3-yl)-3'H-spiro[cyclopropane-1,4'-isoquinoline] 919786-46-2P, 1'-(Quinolin-3-yl)-3'H-spiro[cyclobutane-1,4'-isoquinoline] 919786-47-3P, 1'-(Quinolin-3-yl)-3'H-spiro[cyclohexane-1,4'-isoquinoline] 919786-48-4P, 3-(5-Fluoro-4,4-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-49-5P, 5'-Fluoro-1'-(quinolin-3-yl)-3'H-spiro[cyclopentane-1,4'-isoquinoline] 919786-50-8P, 5'-Fluoro-1'-(quinolin-3-yl)-3'H-spiro[cyclobutane-1,4'-isoquinoline] 919786-51-9P, 3-(5-Fluoro-3-ethyl-4,4-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-52-0P, 3-(5-Fluoro-3-methoxy-4,4-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-53-1P, 3-(5-Fluoro-4,4-diethyl-3,4-dihydroisoquinolin-1-yl)quinoline 919786-54-2P, 3-(5-Fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)-2-hydroxyquinoline 919786-55-3P, 3-(5-Fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)-2-chloro-7-methylquinoline 919786-56-4P, 3-(5-Chloroisoquinolin-1-yl)quinoline 919786-57-5P, 3-(5-Bromoisoquinolin-1-yl)quinoline 919786-58-6P, 3-(3-Methylisoquinolin-1-yl)quinoline 919786-59-7P, 3-(5-Chloro-3-methylisoquinolin-1-yl)quinoline 919786-60-0P, 3-(5-Chloro-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-61-1P 919786-62-2P 919786-63-3P, 3-(5-Chloro-3-methyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline

919786-64-4P, 3-(5-Chloro-3,4-dimethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-65-5P, 1'-(Quinolin-3-yl)-2',3'-dihydro-3'H-spiro[cyclobutane-1,4'-isoquinoline] 919786-66-6P, 3-(5-Fluoro-4,4-dimethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-67-7P, 5'-Fluoro-1'-(quinolin-3-yl)-2',3'-dihydro-3'H-spiro[cyclopentane-1,4'-isoquinoline] 919786-75-7P, 3-(4,4-Difluoro-2-hydroxy-3,3-dimethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)-2-methoxyquinoline 919786-76-8P, 3-(4,4-Difluoro-2-methoxy-3,3-dimethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-77-9P, 3-(2-Ethoxy-4,4-difluoro-3,3-dimethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-78-0P, 3-(4,4-Difluoro-3,3-dimethyl-2-propoxy-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-79-1P, 3-(2-Allyloxy-4,4-difluoro-3,3-dimethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-80-4P, 3-(2-Benzyloxy-4,4-difluoro-3,3-dimethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-81-5P, 3-(2-Acetoxy-4,4-difluoro-3,3-dimethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-82-6P, 3-(5-Fluoro-2-hydroxy-3,3,4,4-tetramethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-83-7P, 3-(5-Fluoro-2-methoxy-3,3,4,4-tetramethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-84-8P, 3-(2-Ethoxy-5-fluoro-3,3,4,4-tetramethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-85-9P, 3-(5-Fluoro-3,3,4,4-tetramethyl-2-propoxy-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-86-0P, 3-(2-Allyloxy-5-fluoro-3,3,4,4-tetramethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-87-1P, 3-(2-Benzyloxy-5-fluoro-3,3,4,4-tetramethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-88-2P, 3-(2-Acetoxy-5-fluoro-3,3,4,4-tetramethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-89-3P, 3-(4,4-Difluoro-3,3-dimethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline 919786-90-6P, 3-(4,4-Difluoro-2,3,3-trimethyl-1,2,3,4-tetrahydroisoquinolin-1-yl)quinoline
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(isoquinoline-1-yl)quinoline derivs. as agrochem. and horticultural fungicides)

IT 861647-84-9, 3-(4,4-Difluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-(isoquinoline-1-yl)quinoline derivs. as agrochem. and horticultural fungicides)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 15 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 143:193918 CA
TITLE: Preparation of quinoline compounds as agricultural fungicides

INVENTOR(S): Ito, Hiroyuki; Fujiwara, Kota; Morimoto, Munetsugu; Tanaka, Harukazu; Tamagawa, Yasushi; Komai, Hiroyuki
PATENT ASSIGNEE(S): Sankyo Agro Company, Limited, Japan
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

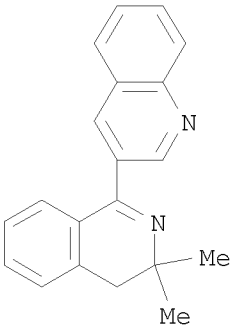
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070917	A1	20050804	WO 2005-JP1171	20050121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005206437	A1	20050804	AU 2005-206437	20050121
CA 2554187	A1	20050804	CA 2005-2554187	20050121
EP 1736471	A1	20061227	EP 2005-704224	20050121
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1910172	A	20070207	CN 2005-80002960	20050121
US 20080275242	A1	20081106	US 2006-587100	20060721
KR 2006127154	A	20061211	KR 2006-716976	20060823
PRIORITY APPLN. INFO.:			JP 2004-15360 A	20040123
			WO 2005-JP1171 W	20050121

OTHER SOURCE(S): MARPAT 143:193918
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I, II, III, IV [R1, R2 = optionally substituted alkyl with halo, etc.; R3, R4 = H, halo, etc.; R5 = H, acyl, etc.; X = halo, etc.; Y = halo, etc.; n = 0-4; m = 0-6] were prepared For example, cyclization of quinoline-3-carbonitrile with a mixture of 1-fluoro-(2-methylpropen-1-yl)benzene and 1-fluoro-(2-methylpropen-2-yl)benzene in the presence of methanesulfonic acid afforded 3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (V) in 47% yield. Compds. V exhibited the fungicidal activity of 100% against pyricularia oryzae. Formulations are given.
IT 861646-19-7P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinoline compds. as agricultural fungicides)
RN 861646-19-7 CA

CN Quinoline, 3-(3,4-dihydro-3,3-dimethyl-1-isoquinolinyl)- (CA INDEX NAME)



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3-(5-Fluoro-4-hydroxy-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline
RL: AGR (Agricultural use); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinoline compds. as agricultural fungicides)

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861648-61-5P 861648-62-6P 861648-63-7P
861648-66-0P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline compds. as agricultural fungicides)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 15 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 142:411286 CA
TITLE: A versatile synthesis of pyrazolo[3,4-c]isoquinoline

	derivatives by reaction of 4-aryl-5-aminopyrazoles with aryl/heteroaryl aldehydes: the effect of the heterocycle on the reaction pathways
AUTHOR(S):	Bogza, Sergei L.; Kobrakov, Konstantin I.; Malienko, Anna A.; Perepichka, Igor F.; Sujkov, Sergei Yu.; Bryce, Martin R.; Lyubchik, Svetlana B.; Batsanov, Andrei S.; Bogdan, Natalya M.
CORPORATE SOURCE:	L. M. Litvinenko Institute of Physical Organic Chemistry and Coal Chemistry, National Academy of Sciences of Ukraine, Donetsk, 83114, Ukraine
SOURCE:	Organic & Biomolecular Chemistry (2005), 3(5), 932-940 CODEN: OBCRAK; ISSN: 1477-0520
PUBLISHER:	Royal Society of Chemistry
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 142:411286

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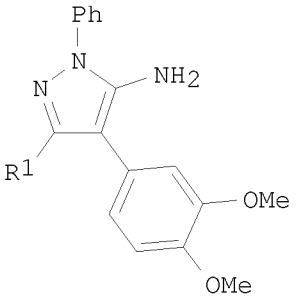

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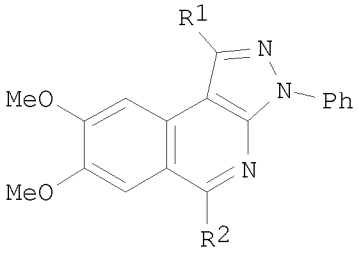


II

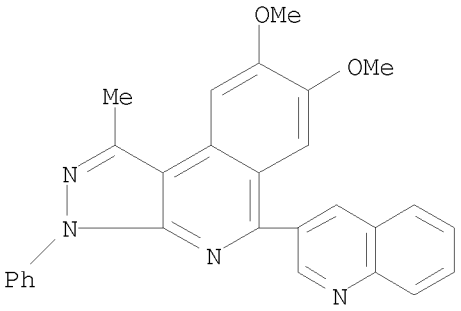
AB The reaction of 4-(3,4-dimethoxyphenyl)-5-aminopyrazoles I (R1 = Me, Et, Ph, PhCH2) with aromatic and heterocyclic aldehydes R2CHO (R2 = Ph, 3-ClC6H4, 4-Et2NC6H4, 3-pyridyl, 2-quinolinyl, 1,2,3-thiadiazol-5-yl) in strong acidic media (trifluoroacetic or formic acid) produced the intermediate pyrazolyl azomethines, which undergo cyclization, similar to the Pictet-Spengler condensation, to give, after in situ aromatization, 5-aryl(heteroaryl)-pyrazolo[3,4-c]isoquinolines II. Whereas for benzaldehyde and its derivs. this one-pot synthesis presents a convenient general route to 5-aryl-pyrazolo[3,4-c]isoquinolines II, in the case of heterocyclic aldehydes the product structure varies markedly with the structure of the aldehyde used: (i) 3-pyridyl-, 3-quinolyl-, 3-thienyl-, and 1,2,3-thiadiazolyl-5-carboxaldehydes give pyrazolo[3,4-c]isoquinolines II; (ii) 1-methylbenzimidazolyl-2-carboxaldehyde gives only intermediate azomethine, which does not cyclize; (iii) 1-R3-3-indolylcarboxaldehydes (R3 = H, Me, PhCH2) eliminate the heteroaryl fragment resulting in 5-unsubstituted pyrazolo[3,4-c]isoquinolines II (R2 = H). Thienyl-2-carboxaldehyde reacts by both pathways (i) and (iii) depending on the reaction conditions. The single crystal X-ray structures for II (R1 = Me, R2 = 2-thienyl; R1 = PhCH2, R2 = 4-Et2NC6H4; R1 = Me, R2 = H) provide confirmation of the different types of products formed in these reactions. Mechanisms which explain these transformations are presented.

IT 850411-73-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrazolo[3,4-c]isoquinolines by Pictet-Spengler condensation of (dimethoxyphenyl)aminopyrazoles with aromatic or heteroarom. aldehydes followed by aromatization)

RN 850411-73-3 CA

CN 3H-Pyrazolo[3,4-c]isoquinoline, 7,8-dimethoxy-1-methyl-3-phenyl-5-(3-quinolinyl)- (CA INDEX NAME)



IT 850411-73-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyrazolo[3,4-c]isoquinolines by Pictet-Spengler condensation of (dimethoxyphenyl)aminopyrazoles with aromatic or heteroarom. aldehydes followed by aromatization)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 65 THERE ARE 65 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 15 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 142:219282 CA

TITLE: Pyrazoloisoquinoline derivatives as kinase inhibitors, and their preparation, pharmaceutical compositions, and use in the treatment of diseases involving increased NIK activity.

INVENTOR(S): Majid, Tahir N.; Hopkins, Corey; Pedgrift, Brian L.; Collar, Nicola; Wirtz-Brugger, Friederike; Merrill, Jean

PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012301	A1	20050210	WO 2003-US21144	20030703

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

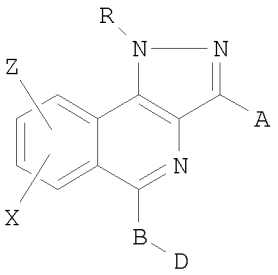
CA 2531291	A1	20050210	CA 2003-2531291		20030703
AU 2003304380	A1	20050215	AU 2003-304380		20030703
EP 1644371	A1	20060412	EP 2003-742433		20030703
EP 1644371	B1	20080213			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK

CN 1802373	A	20060712	CN 2003-826733		20030703
BR 2003018383	A	20060725	BR 2003-18383		20030703
JP 2007521227	T	20070802	JP 2005-507449		20030703
AT 386034	T	20080315	AT 2003-742433		20030703
MX 2005013485	A	20060405	MX 2005-13485		20051213
MX 2005013486	A	20080929	MX 2005-13486		20051213
KR 2006063872	A	20060612	KR 2006-700178		20060103
IN 2006CN00034	A	20070601	IN 2006-CN34		20060103
PRIORITY APPLN. INFO.:			US 2003-461795	A	20030613
			WO 2003-US21144	W	20030703

OTHER SOURCE(S): CASREACT 142:219282; MARPAT 142:219282

GI



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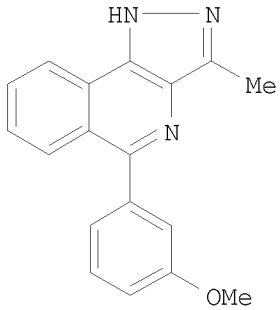


II

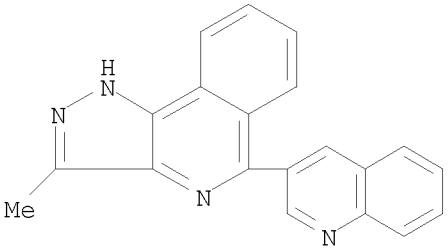
AB Novel pyrazoloisoquinoline derivs. I, useful as kinase inhibitors, are disclosed [wherein: A = (un)substituted alkyl, OH or derivs., SH or derivs., CO2H or derivs., NH2 or derivs., cyano, (un)substituted heteroaryl, cycloalkyl, or heterocyclyl; B = bond, (un)substituted CH:CH, C.tplbond.C, O(CH2)1-4, O, S, CO, (un)substituted NH, NHCO, CONH, NHSO2, SO2NH, NHCONH, or C1-4 alkylene; D = (un)substituted alkyl, heteroaryl, heterocyclyl, aryl, or cycloalkyl; or BD = H, halo, fluoroalkoxy, (un)substituted alkyl; R = H, alkyl, (un)substituted arylalkyl; X, Z = H, alkyl, OH, alkoxy, halo, fluoroalkyl, CO2H or derivs., NH2 or derivs., cyano, SH or derivs., (un)substituted heterocyclyl or cycloalkyl; with provisos]. I are suitable for producing pharmaceuticals for the prophylaxis and therapy of diseases whose course involves an increased activity of NIK. Approx. 75 examples were prepared, and these plus addnl. compds. are individually claimed. For instance, 3-methoxybenzoic acid was condensed with 3-methyl-5-phenyl-1H-pyrazol-4-ylamine using HOBT and DIPC, and the resultant benzamide derivative was cyclized by treatment with P2O5 and POCl3 in xylene at 160°, to give title compound II. In a test for inhibition of release of IL1β, TNFα, and IL6 in LPS-stimulated heparinized whole human blood, II had IC50 values of 1.3, 1.2, and 7

μM, resp.

IT 824968-78-7P, 3-Methyl-5-(quinolin-3-yl)-1H-pyrazolo[4,3-c]isoquinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrazoloisoquinoline derivs. as NIK inhibitors)

RN 824968-78-7 CA

CN 1H-Pyrazolo[4,3-c]isoquinoline, 3-methyl-5-(3-quinolinyl)- (CA INDEX NAME)



IT 824968-78-7P, 3-Methyl-5-(quinolin-3-yl)-1H-pyrazolo[4,3-c]isoquinoline
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrazoloisoquinoline derivs. as NIK inhibitors)

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 15 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 142:134600 CA

TITLE: Preparation of pyrazoloisoquinolines as NFκB-inducing kinase (NIK) inhibitors

INVENTOR(S): Majid, Tahir Nadeem; Hopkins, Corey; Pedgrift, Brian Leslie; Collar, Nicola; Wirtz-Brugger, Friederike; Merrill, Jean

PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 41 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

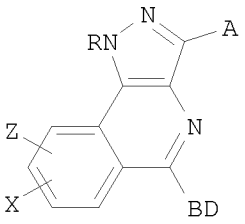
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050009859	A1	20050113	US 2003-613588	20030703
US 7132428	B2	20061107		

PRIORITY APPLN. INFO.: US 2003-613588 20030703

OTHER SOURCE(S): MARPAT 142:134600

GI



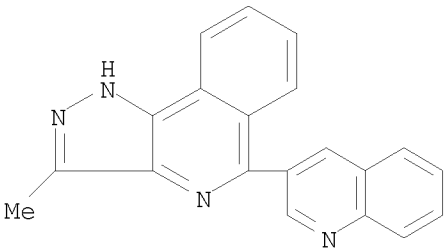
I

AB Title compds. [I; A = (substituted) alkyl, heteroaryl, heterocyclyl; B = bond, C:CR1, C.tplbond.C, O(CH2)a, O, S, CO, NR2, NR2CO, (substituted) alkylene, etc.; R1 = H, alkyl, aryl, etc.; R2 = alkyl, OH, alkoxy, halo, etc.; a = 1-4; D = (substituted) alkyl, heteroaryl, heterocyclyl, aryl, cycloalkyl; BD = H, halo, fluoroalkyl, fluoroalkoxy, etc.; R = H, alkyl, (substituted) aralkyl; X, Z = H, alkyl, OH, alkoxy, halo, fluoroalkyl, CO2R1, N(R1)2, cyano, SR1, SOR1, SO2R1, (substituted) heterocyclyl, cycloalkyl, etc.; with provisos], were prepared Thus, hydroxybenzotriazole, diisopropyl carbodiimide, benzoic acid, and 3,5-diphenyl-1H-pyrazol-4-ylamine were stirred 12 h in MeCN to give a residue which was heated with P2O5 and POCl3 in xylene at 150° for 4 h followed by stirring at room temperature for 12 h to give 3,5-diphenyl-1H-pyrazolo[4,3-c]isoquinoline. The latter inhibited TNFα release in LPS-stimulated human peripheral blood lymphocytes with IC50 = 1.9 nM.

IT 824968-78-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of pyrazoloisoquinolines as NFκB-inducing kinase inhibitors)

RN 824968-78-7 CA

CN 1H-Pyrazolo[4,3-c]isoquinoline, 3-methyl-5-(3-quinolinyl)- (CA INDEX NAME)



IT 824968-78-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of pyrazoloisoquinolines as NFκB-inducing kinase inhibitors)

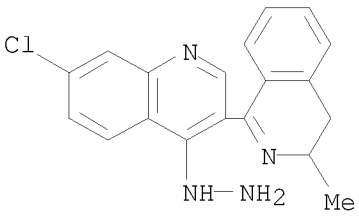
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 15 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 108:21688 CA
ORIGINAL REFERENCE NO.: 108:3675a,3678a
TITLE: Isoquinolylquinoline derivatives: Part IV - synthesis of some 4-substituted 3-(3,4-dihydro-3-methyl-1-isoquinolyl)-7-chloroquinoline derivatives as possible trypanocidal agents
AUTHOR(S): Das, Michael; Chaudhuri, Subhankar; Ray, Manotosh R.; Chakravorti, S. S.
CORPORATE SOURCE: Bengal Immunity Res. Inst., Calcutta, 700 017, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1986), 25B(10), 1072-8
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:21688
GI

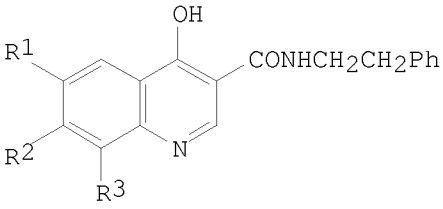
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Cyclization of amide I (R = CONHCHMeCH2Ph) using polyphosphoric acid and POCl3 affords (methyldihydroisoquinolyl)quinoline II (R1 = OH) (III), which upon treatment with POCl3 is converted to II (R1 = Cl) (IV). IV reacts with NH3, N2H4·xH2O, and amines to give II (R1 = NH2, NHNH2, morpholino, piperidino, pyrrolidino). Reaction of IV with NaOEt affords aromatic derivs. V (R2 = OEt, Cl; R3 = H). Reduction of III with NaBH4 gives (tetrahydromethylisoquinolyl)chloroquinoline VI and dehydrogenation of III with S8 in the presence of Tetralin gives [methylnaphthylisoquinolyl]dichloroquinoline V (R2 = Cl, R3 = β-naphthyl). Acid hydrolysis of IV and subsequent reaction with acetamidocresol derivs. affords (dihydroisoquinolyl)(arylamino)quinolines VII (R4 = NEt2, morpholino, piperidino). Compds. III, IV, II (R1 = NHNH2), and VII (same R4) showed no significant trypanocidal activity against T. cruzi and T. evansi in mice.
IT 111826-43-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and trypanocidal activity of)
RN 111826-43-8 CA
CN Quinoline, 7-chloro-3-(3,4-dihydro-3-methyl-1-isoquinolinyl)-4-hydrazinyl- (CA INDEX NAME)



IT 111826-43-8P 111826-49-4P 111826-50-7P
111826-51-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and trypanocidal activity of)
IT 111826-42-7P 111826-44-9P 111826-45-0P
111826-46-1P 111826-47-2P 111826-48-3P
111826-52-9P 111826-53-0P 111826-54-1P
111826-55-2P 111826-56-3P 111826-57-4P
111826-58-5P 111826-59-6P 111826-60-9P
111826-61-0P 111826-62-1P 111826-63-2P
111852-19-8P 111852-20-1P 111910-96-4P
111941-88-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
IT 111826-40-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, chlorination, borohydride reduction, and trypanocidal activity of)
IT 111826-41-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, reactions and trypanocidal activity of)
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 11 OF 15 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 105:133726 CA
ORIGINAL REFERENCE NO.: 105:21577a,21580a
TITLE: Isoquinolylquinoline derivatives. Part III. Synthesis of some 4-substituted 3-(3',4'-dihydro-1'-isoquinolyl)quinoline derivatives as possible antifilarial agents
AUTHOR(S): Chakravorti, S. S.; Sen Gupta, Pranab K.; Chaudhuri, Subhankar; Das, Michael; Bhattacharya, Sipra; Chaudhuri, P. K.; Bose, A. N.
CORPORATE SOURCE: Bengal Immun. Res. Inst., Calcutta, 700 017, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1985), 24B(7), 737-46
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:133726
GI



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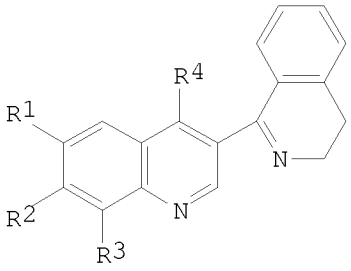
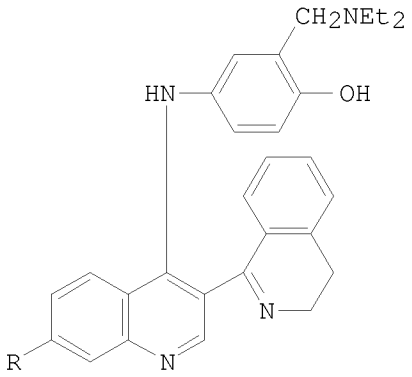


II



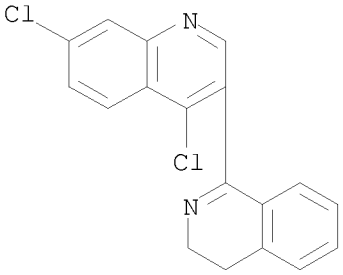
III

AB Bischler-Napieralski cyclization of quinolinyl amides I (R1 = OMe, R2 = R3 = H; R1 = R3 = H, R2 = OMe; R1 = R2 = H, R3 = OM) using polyphosphonic acid or polyphosphonic acid-POCl3 gave isoquinolylquinolines II (R4 = OH, R5 = H). II (R1 = R2 = H, R3 = OMe, R4 = OH) was converted in several steps to III (R = HCl). III.HCl had significant antifilarial activity.

IT 24489-66-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with acetamido(diethylamino)cresol)

RN 24489-66-5 CA

CN Quinoline, 4,7-dichloro-3-(3,4-dihydro-1-isoquinolinyl)- (CA INDEX NAME)



IT 24489-66-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with acetamido(diethylamino)cresol)

IT 104386-33-6P 104386-34-7P 104386-35-8P
104386-39-2P
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and antifilarial activity of)
IT 28970-37-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with phosphorus oxychloride, chloroquinoline from)
IT 104386-06-3P 104386-07-4P 104386-26-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of)
IT 24489-60-9P 104386-04-1P 104386-05-2P
104386-08-5P 104386-09-6P 104386-10-9P
104386-11-0P 104386-12-1P 104386-13-2P
104386-14-3P 104386-15-4P 104386-17-6P
104386-18-7P 104386-19-8P 104386-20-1P
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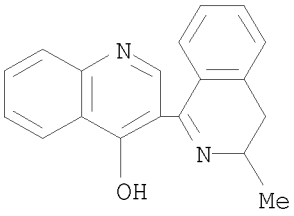
104386-50-7P 104386-51-8P 104386-52-9P
104386-53-0P 104386-54-1P 104406-74-8P
108779-02-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

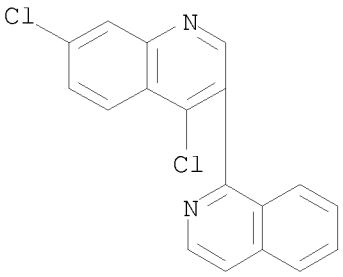
L4 ANSWER 12 OF 15 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 73:55947 CA
ORIGINAL REFERENCE NO.: 73:9189a,9192a
TITLE: Isoquinolylquinoline derivatives. II. Synthesis of some azaheterocyclic derivatives as possible antispasmodic or amoebicidal agents
AUTHOR(S): Das Gupta, Ahindra C.; Raychaudhuri, Amitabha; Chakravorti, Sibani S.; Basu, U. P.
CORPORATE SOURCE: Bengal Immunity Res. Inst., Calcutta, India
SOURCE: Indian Journal of Chemistry (1970), 8(6), 505-8
CODEN: IJOCAP; ISSN: 0019-5103
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB I-VI were prepared I was synthesized by Bischler-Napieralski cyclization of 4-hydroxy-N-(α-methylphenethyl)]-3-quinolinecarboxamide, obtained by the interaction of Et 4-hydroxy-3-quinolinecarboxylate with α-methylphenethylamine. II was obtained by a similar cyclization of 4-hydroxy-N-(2-phenylcyclohexyl)-3-quinolinecarboxamide, obtained by the interaction of ethyl 4-hydroxy-3-quinolinecarboxylate and 2-phenylcyclohexylamine. III-VI were obtained by the interaction of 3-(3,4-dihydro-1-isoquinolyl)-4,7-dichloroquinoline with piperidine, morpholine, 1-carbethoxypiperazine, and 1-benzylpiperazine, resp.
IT 28970-37-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 28970-37-8 CA
CN 4-Quinolinol, 3-(3,4-dihydro-3-methyl-1-isoquinolinyl)- (CA INDEX NAME)



IT 28970-37-8P 28970-38-9P 28970-40-3P
28970-41-4P 28970-42-5P 28970-58-3P
28970-59-4P 28970-60-7P 28970-61-8P
28970-62-9P 28970-63-0P 28970-64-1P
28970-65-2P 29141-83-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L4 ANSWER 13 OF 15 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 72:12529 CA
ORIGINAL REFERENCE NO.: 72:2273a,2276a
TITLE: Isoquinolylquinoline derivatives. I. Synthesis of some 3-(3,4-dihydroisoquinol-1-yl-4-substituted quinoline derivatives as possible spasmolytic agents
AUTHOR(S): Chakravorti, Sibani; Das Gupta, Ahindra C.; Raychaudhuri, Amitabha; Basu, Uma P.
CORPORATE SOURCE: Bengal Immunity Res. Inst., Calcutta, India
SOURCE: Indian Journal of Chemistry (1969), 7(10), 1010-16
CODEN: IJOCAP; ISSN: 0019-5103
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Bischler-Napieralski cyclizations of the amides (Ia or Ic), from the reaction of phenethylamine with Et 4-hydroxy-3-quinolinecarboxylate or its 7-chloro derivative with polyphosphoric acid (PPA)-POCl3 mixture or PPA alone afforded 3,4-dihydroisoquinolylquinoline derivs., which with POCl3 were converted to the corresponding chloro derivs. Ia, with POCl3 in boiling benzene or PhMe, gave Ib instead of undergoing the expected cyclodehydration. The reactivity of the Cl atom in the 4-position of the quinoline ring of 3-(3,4-dihydro-1-isoquinolyl)-4-chloroquinoline was ascertained through it s reaction with NaOMe and secondary amines like pyrrolidine, piperidine, morpholine, piperazine, 1-carbethoxy-piperazine, 1-benzylpiperazine, resulting in the formation of the expected azaheterocyclic derivs., some of which show moderately high musculotropic spasmolytic activity. During the dehydrogenation of some of these 3,4-dihydroisoquinolylquinolines with Pd/C, interesting examples of hydrogenolysis by H transfer were recorded.
IT 24485-03-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 24485-03-8 CA
CN Quinoline, 4,7-dichloro-3-(1-isoquinolinyl)- (CA INDEX NAME)



IT 24485-03-8P 24485-04-9P 24485-05-0P
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24489-72-3P 24489-73-4P 24489-74-5P
24489-75-6P 24489-76-7P 24489-77-8P
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24489-84-7P 24489-85-8P 24500-86-5P
24500-87-6P 24500-88-7P 24500-89-8P
24536-43-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

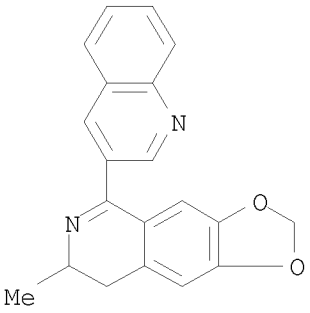
L4 ANSWER 14 OF 15 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 51:25556 CA
ORIGINAL REFERENCE NO.: 51:5084f-h
TITLE: Heterocyclic compounds. VIII. Synthesis of 1-quinolylisoquinolines
AUTHOR(S): Govindan, T. K.
CORPORATE SOURCE: Univ. Madras
SOURCE: Proceedings - Indian Academy of Sciences, Section A (1956), 44A, 126-9
CODEN: PISAA7; ISSN: 0370-0089
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB 1-Quinolyl-3-methyl-3,4-dihydro-6,7-methylenedioxyisoquinolines (I) were prepared Piperonal condensed with nitroethane and the product reduced with LiAlH4 in Et2O gave 3,4-(CH2O2)C6H3CH:C(NH2)CH3 (II), b17 152°. II in C6H6 refluxed with quinolinecarboxylic acid chloride-HCl (III), (or by heating II with the Et ester, for R = 4-quinolyl and 7-quinolyl), gave 3,4-(CH2O2)C6H3CH:C(CH3)NHCOR (IV), which was cyclized by heating with POCl3 in C6H6 or PhMe to I. The following I were prepared (R, III, m.p. of IV, solvent of crystallization, m.p. of picrate, m.p. of I, solvent of crystallization, and m.p. of picrate given): 2-quinolyl, quinaldinic acid, 116°, petr. ether, -, 141°, petr. ether, -; 3-quinolyl, quinoline-3-carboxylic acid, 110-14°, dilute EtOH (128° when dried over P2O5), 182° (from AcOH), 98-100°, dilute MeOH, 201° (from MeOH); 4-quinolyl, cinchoninic acid, 144°, Me2CO,

204° (from EtOH), -, -, 202° (from MeOH); 5-quinolyl, quinoline-5-carboxylic acid, 173°, C6H6-petr. ether, -, -, -, 175° (from EtOH); 6-quinolyl, quinoline-6-carboxylic acid, 142°, petr. ether, -, 122°, petr. ether, -; 7-quinolyl, quinoline-7-carboxylic acid, 165°, Me2CO, -, 140°, petr. ether, -; 8-quinolyl, quinoline-8-carboxylic acid, -, -, 177° (from PhMe), 164°, MeOH, -.

IT 109805-16-5P, 1,3-Dioxlo[4,5-g]isoquinoline, 7,8-dihydro-7-methyl-5-[3-quinolyl]-
RL: PREP (Preparation)
(preparation of)

RN 109805-16-5 CA

CN 1,3-Dioxolo[4,5-g]isoquinoline, 7,8-dihydro-7-methyl-5-(3-quinolinyl)- (CA INDEX NAME)



IT 109805-16-5P, 1,3-Dioxlo[4,5-g]isoquinoline, 7,8-dihydro-7-methyl-5-[3-quinolyl]- 116151-51-0P, 1,3-Dioxlo[4,5-g]isoquinoline, 7,8-dihydro-7-methyl-5-[3-quinolyl]-, dipicrates
RL: PREP (Preparation)
(preparation of)

L4 ANSWER 15 OF 15 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 46:630 CA

ORIGINAL REFERENCE NO.: 46:116g-i

TITLE: Synthesis of compounds related to papaverine. IV. Syntheses of 1-heterocyclic isoquinolines

AUTHOR(S): Fujisawa, Masao

SOURCE: Yakugaku Zasshi (1945), 2(No. 9/10A), 2-3
CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

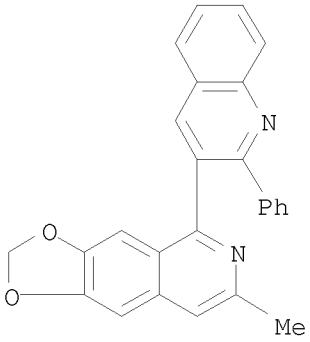
AB The following 6,7-methylenedioxyisoquinolines with heterocyclic substituents in the 1-position were prepared: 1-(2-pyridyl)-3-Me, noncryst. (picrate, orange needles, decompose 203°); 1-(3-pyridyl)-3-Me, colorless needles, m. 193° (picrate, yellow needles, m. 199°); 1-(1-methyl-3-piperidyl)-2-methyl-1,2,3,4-tetrahydro (picrolonate, yellow needles, decompose 230-1°); 1-(1-methyl-4-phenyl-4-piperidyl)-3-Me, fine colorless needles, m. 220° (picrate, yellow needles, m. 228°); 1-(2-quinolyl)-3-Me (picrate, yellow needles, m. 223-4°; methiodide, golden yellow needles, decompose 230°); 1-(2-phenyl-3-quinolyl)-3-Me, colorless prisms, m. 258-9°; 1-(1-piperidylmethyl)-3-Me (picrate, yellow needles, m. 216°); 1 -(3,5-dimethyl-4-isoxazolyl)-3-Me, colorless

needles, m. 147° (HCl salt, pale blue, rhombic crystals, decompose 248.5°); 1-(1,2,3,4-tetrahydro-1-isoquinolylmethyl)-3-methyl-3,4-dihydro (picrolonate, orange needles, decompose 251.5°); and 1-(4-methyl-5-thiazolyl)-3-Me (picrate, yellow needles, m. 196°).

IT 854865-61-5P, Quinoline, 3-(7-methyl-1,3-dioxolo[4,5-g]isoquinolin-5-yl)-2-phenyl-
RL: PREP (Preparation)
(preparation of)

RN 854865-61-5 CA

CN 1,3-Dioxolo[4,5-g]isoquinoline, 7-methyl-5-(2-phenyl-3-quinolinyl)- (CA INDEX NAME)



IT 854865-61-5P, Quinoline, 3-(7-methyl-1,3-dioxolo[4,5-g]isoquinolin-5-yl)-2-phenyl- 854865-61-5P, 1,3-Dioxolo[4,5-g]isoquinoline, 7-methyl-5-(2-phenyl-3-quinolyl)-
RL: PREP (Preparation)
(preparation of)

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(FILE 'HOME' ENTERED AT 14:03:52 ON 28 JUL 2009)

FILE 'REGISTRY' ENTERED AT 14:04:13 ON 28 JUL 2009

FILE 'REGISTRY' ENTERED AT 14:04:27 ON 28 JUL 2009
L1 STRUCTURE UPLOADED
L2 28 S L1 SAM
L3 602 S L1 FULL

FILE 'CA' ENTERED AT 14:04:59 ON 28 JUL 2009
L4 15 S L3

=> file marpat

=> s l1 full
FULL SEARCH INITIATED 14:06:15 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 3795 TO ITERATE

100.0% PROCESSED 3795 ITERATIONS 29 ANSWERS
SEARCH TIME: 00.00.02

L5 29 SEA SSS FUL L1

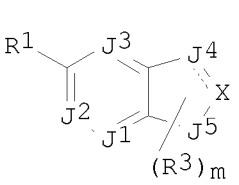
=> d ibib abs fqhit 1-29

L5 ANSWER 1 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 149:288686 MARPAT
TITLE: Indolines as functionally selective alpha2C adrenoreceptor agonists and their preparation
INVENTOR(S): De Lera Ruiz, Manuel; McCormick, Kevin D.; Boyce, Christopher W.; Aslanian, Robert G.; Yu, Younong; Mangiaracina, Pietro; Zheng, Junying; Berlin, Michael Y.; Ciesla, Stephanie L.; Huang, Chia-Yu; Liang, Bo
PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.
SOURCE: PCT Int. Appl., 145pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008100456	A2	20080821	WO 2008-US1765	20080211
WO 2008100456	A3	20081106		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2007-901045P 20070213
GI



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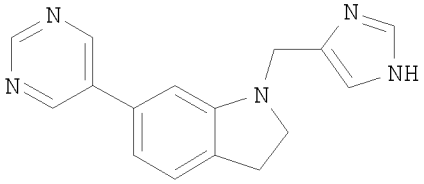
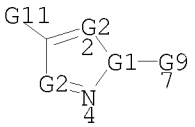


II

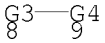
AB The invention provides a class of biaryl compds. of formula I as inhibitors of α2C adrenergic receptor agonists, methods of preparing such compds., pharmaceutical compns. containing one or more such compds., methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more conditions associated with the α2C adrenergic receptors

using such compds. or pharmaceutical compns. Compds. of formula I wherein J1, J2 and J3 is N, NO and CR2; J4 is (un)substituted alkylidene, (un)substituted alkenylmethylene, (un)substituted alkyl, etc.; J5 is CR6', NR6', O and S; R1 is (un)substituted cycloalkyl, (un)substituted cycloalkenyl, (un)substituted (hetero)aryl, etc.; R2 is H, OH, halo, CN, NO2, alkyl, alkoxy, etc.; R3 is H, halo, =O, alkyl, alkoxy, alkenyl, etc.; R6' is H, alkyl, alkoxy, alkenyl, alkynyl, etc.; X is C1-3 alkyl, and C1-3 alkenyl; m is 0, 1, 2, 3, 4, and 5; and their pharmaceutically acceptable salts, esters, solvates and prodrugs thereof, are claimed. Example compound II was prepared by Suzuki cross-coupling reaction of N-Boc-6-bromoindoline with pyrimidine-5-boronic acid the resulting N-Boc-6-(pyrimidin-5-yl)indoline underwent deprotection to give 6-(pyrimidin-5-yl)indoline, which underwent reductive alkylation with imidazole-4-carboxaldehyde to give compound II. All the invention compds. were evaluated for their α2C adrenoreceptor agonistic activity (some data given).

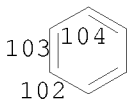
MSTR 1A



G1 = 8-2 9-7 8-4



G2 = CH (opt. substd.)
G3 = 103-2 102-4 104-9



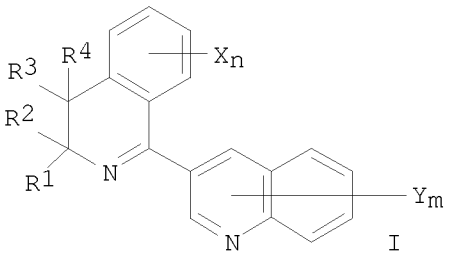
G11 = isoquinolinyl
Patent location: claim 1
Note: or pharmaceutically acceptable salts, esters, solvates or prodrugs
Note: substitution is restricted
Note: additional substitution and ring formation also claimed

L5 ANSWER 2 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 148:578981 MARPAT
TITLE: Soil- or seed-treating agents comprising quinoline compounds and salts thereof and plant disease control with quinolines
INVENTOR(S): Ito, Hiroyuki; Tamagawa, Yasushi; Tanaka, Harukazu;

Ohara, Toshiaki
PATENT ASSIGNEE(S): Sankyo Agro Company, Limited, Japan
SOURCE: PCT Int. Appl., 70pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

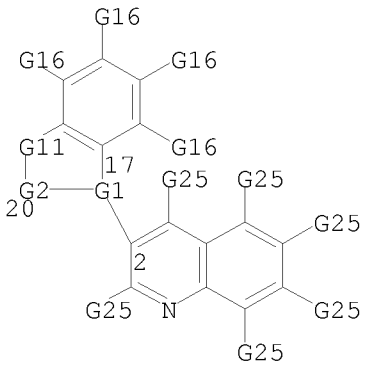
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008066148	A1	20080605	WO 2007-JP73143	20071130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007326412	A1	20080605	AU 2007-326412	20071130
IN 2009KN02411	A	20090717	IN 2009-KN2411	20090629
PRIORITY APPLN. INFO.:			JP 2006-325344	20061201
			WO 2007-JP73143	20071130

GI

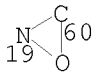


AB Soil- or seed-treating agents with an excellent controlling effect against various plant pathogens (particularly, Pyricularia oryzae) comprise ≥ 1 quinoline (I, e.g., where R1, R2 = (un)substituted alkyl, (hetero)aryl, etc.; R3, R4 = H, (un)substituted alkyl, halo, alkoxy, etc.; X = halo, (un)substituted alkyl, etc.; Y = halo, OH, etc.; n = 0-4; m = 0-6) or a salt thereof. Thus, when rice plants which had been sprayed with a Pyricularia oryzae spore suspension were grown on soil treated with 400 g/10 are of I (R1, R2 = Me; R3, R4 = H; Xn = 5-F; Ym = H), rice blast disease development was not observed 7 days after inoculation.

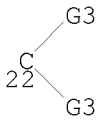
MSTR 1



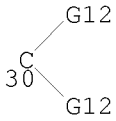
G1 = 60-17 19-20 60-2



G2 = 22



G11 = 30



Patent location: claim 1
Note: or salts

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 148:517739 MARPAT
TITLE: Preparation of triazolopyridazine protein kinase modulators
INVENTOR(S): Smith, Christopher Ronald; Bounaud, Pierre-Yves; Jefferson, Elizabeth Anne; Lee, Patrick S.; Torres, Eduardo
PATENT ASSIGNEE(S): SGX Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 284pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008051805	A2	20080502	WO 2007-US81832	20071018
WO 2008051805	A3	20080710		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2007309237	A1	20080502	AU 2007-309237	20071018
KR 2009069303	A	20090630	KR 2009-707986	20090417
IN 2009MN00857	A	20090703	IN 2009-MN857	20090501
PRIORITY APPLN. INFO.:			US 2006-862552P	20061023
			US 2006-871384P	20061221
			US 2007-913752P	20070424
			US 2007-952833P	20070730
			WO 2007-US81832	20071018

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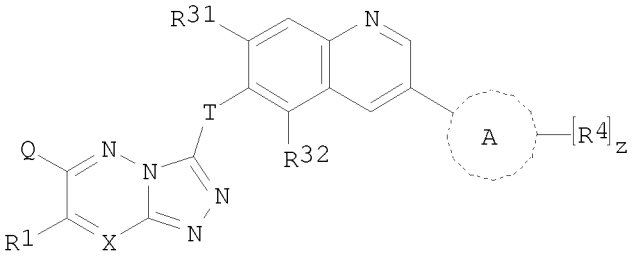
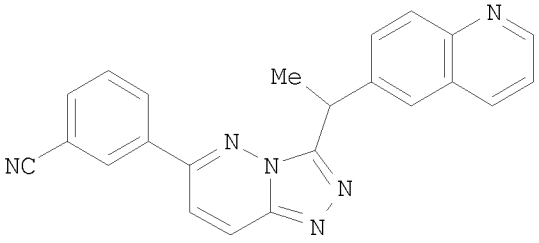


I

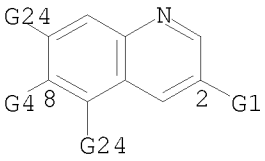


II

AB The title compds. I [A = (un)substituted (hetero)aryl; Q = H, halo, amino, alkyl, etc.; T = CH2, CH(halo), C(halo)2, CH(alkyl), C(alkyl)2; X = N or

CR2; R1, R2 = H, halo, nitro, cyano, etc.; or R1 and R2 form (un)substituted (hetero)cycloalkyl or (hetero)aryl; R31, R32 = H, halo, nitro, cyano, etc.; R4 = a bond, H, halo, nitro, etc.; z = 0-3], useful for treating diseases mediated by kinase activity, were prepared Thus, Pd-catalyzed coupling of (R,S)-6-[1-(6-chloro-[1,2,4]triazolo[4,3-b]pyridazin-3-yl)ethyl]quinoline with 3-cyanophenylboronic acid afforded 59% II which showed IC50 of ≤100 nM against c-Met kinase. Pharmaceutical composition comprising the compound I is disclosed.

MSTR 1

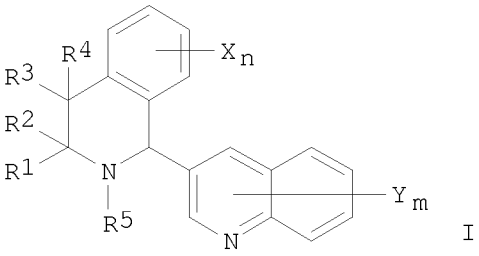


G1 = isoquinolinyl
Patent location: claim 1
Note: or pharmaceutically acceptable salts or solvates
Note: also incorporates claims 23, 25 and 27
Note: substitution is restricted
Stereochemistry: or enantiomers, diastereomers or racemates

L5 ANSWER 4 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 147:462227 MARPAT
TITLE: Medical fungicides containing 3-[(di- or tetrahydro)isoquinolin-1-yl]quinolines
INVENTOR(S): Ito, Hiroyuki; Tamagawa, Yasushi
PATENT ASSIGNEE(S): Sankyo Agro Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 54pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007269686	A	20071018	JP 2006-96830	20060331

PRIORITY APPLN. INFO.: JP 2006-96830 20060331
GI



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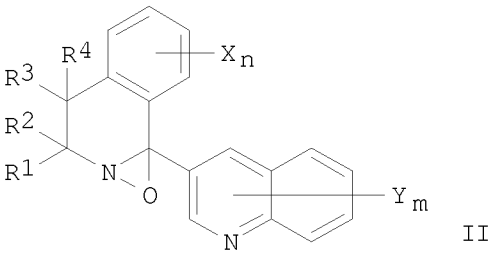
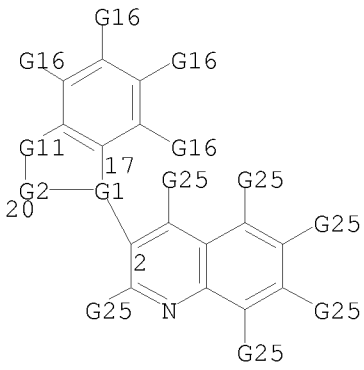


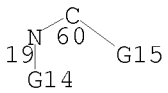
II

AB Medical fungicides contain title compds. I, II [R1, R2 = (un)substituted C1-6 alkyl, (un)substituted (hetero)aryl, (un)substituted aralkyl; R1CR2 may be linked to form (un)substituted C3-10 cycloalkyl; R3, R4 = H, (un)substituted C1-6 alkyl, halo, C1-6 alkoxy, OH; R3R4 may be linked to form C1-6 alkylidene; R3CR4 may be keto, (un)substituted C3-10 cycloalkyl; R5 = none, H, acyl, (un)substituted C1-6 alkyl, O; X = halo, (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, (un)substituted (hetero)aryl, etc.; Y = halo, C1-6 alkyl, C1-6 alkoxy, OH; n = 0-4; m = 0-6; the doted line may be double bond], or their salts as active ingredients. Thus, I (R1 = R2 = Me, R3 = R4 = Ym = H, R5 = none, Xn = 5-F; the doted line is double bond) at 100 ppm showed ≥80% antifungal activity against Candida glabrata, Cryptococcus neoformans, and Aspergillus fumigatus, and at 10 ppm against Trichophyton mentagrophytes, T. rubrum, and Microsporum gypseum.

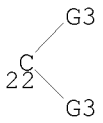
MSTR 1



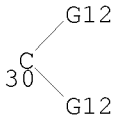
G1 = 60-17 19-20 60-2



G2 = 22



G11 = 30



Patent location: claim 1
Note: or salts

L5 ANSWER 5 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:358717 MARPAT
TITLE: Preparation of cyanophenylethyl quinolinecarboxamides as neurokinin-3 (NK-3) receptor ligands.
INVENTOR(S): Albert, Jeffrey S.; Alhambra, Cristobal; Kang, James; Koether, Gerard M.; Simpson, Thomas R.; Woods, James; Li, Yan
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 39pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

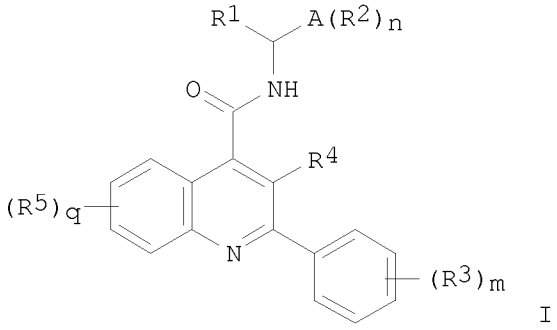
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007035157	A1	20070329	WO 2006-SE1067	20060919
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1928834	A1	20080611	EP 2006-784188	20060919

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

JP 2009508945	T	20090305	JP 2008-532189	20060919
IN 2008DN02404	A	20080725	IN 2008-DN2404	20080320
CN 101268053	A	20080917	CN 2006-80035003	20080321
US 20080306110	A1	20081211	US 2008-67566	20080408
PRIORITY APPLN. INFO.:			US 2005-719286P	20050921
			WO 2006-SE1067	20060919

OTHER SOURCE(S): CASREACT 146:358717

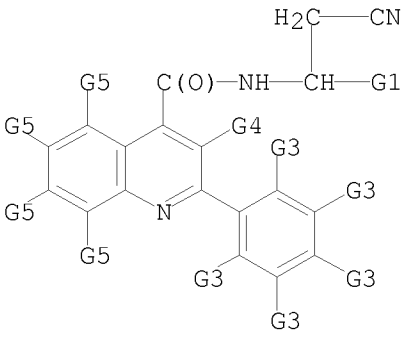
GI



I

AB Title compds. [I; R1 = CH2CN; A = Ph, cycloalkyl; R2 = H, OH, NH2, cyano, halo, (substituted) alkyl cycloalkyl, alkoxy, alkoxyalkyl; R3 = R2, NO2; m, n, q = 1-3; R4 = H, OH, OSO2R6, (substituted) alkyl, alkoxy, alkoxyalkyl, etc.; R5 = H, OH, cyano, halo, OR6, SR6, SOR6, SO2R6; R6 = H, (substituted) alkyl, alkenyl, alkynyl, carbocyclyl], were prepared for treatment of depression, anxiety, schizophrenia, obesity, inflammatory bowel disorder, etc. (no data). Thus, 3-hydroxy-2-phenylquinoline-4-carboxylic acid, Et3N, and SOCl2 were stirred together in EtOAc for 45 min.; (S)-3-amino-3-phenylpropionitrile (preparation given) was added followed by stirring for 3 h at 40° to give (S)-2-cyano-1-phenylethyl 3-hydroxy-2-phenylquinoline-4-carboxamide.

MSTR 1



G4 = 51

G12—G13
51

G12 = (0-5) CH2
G13 = isoquinolinyl
Patent location: claim 1
Note: or in vivo hydrolysable precursors, pharmaceutically acceptable salts
Stereochemistry: or stereoisomers or enantiomers

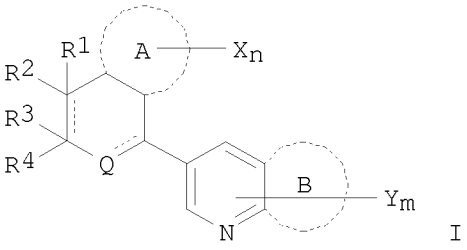
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:163036 MARPAT
TITLE: Preparation of 3-(isoquinolin-1-yl)quinoline derivatives as agrochemical and horticultural fungicides
INVENTOR(S): Ito, Hiroyuki; Komai, Hiroyuki; Fujiwara, Kota; Tanaka, Harukazu; Tamagawa, Yasushi; Kajino, Fumie
PATENT ASSIGNEE(S): Sankyo Agro Company, Limited, Japan
SOURCE: PCT Int. Appl., 114pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007011022	A1	20070125	WO 2006-JP314478	20060721

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

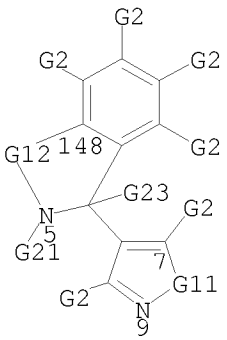
PRIORITY APPLN. INFO.: JP 2005-212324 20050722
GI



I

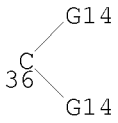
AB The title compds. (I) [the ring A, B = each (un)substituted benzene ring, C3-8 cycloalkyl ring optionally unsatd., or 5- or 6-membered heteroaryl ring containing 1-4 heteroatoms selected from O, N and S; R1-R4 = H, halogen, HO, acyloxy, acylthio, cyano, each (un)substituted C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, C1-6 alkylsulfinyl, C1-6 alkylsulfonyl, C3-6 cycloalkyl, C3-6 cycloalkyloxy, C3-6 cycloalkylthio, C2-6 alkenyl, C2-6 alkenyloxy, C2-6 alkenylthio, C2-6 alkynyl, C2-6 alkynyloxy, C2-6 alkynylthio, aryl, arylthio, heteroaryl, heteroarylthio, aralkyl, aralkyloxy, or aralkylthio; or at least 2 of R1-R4 together form (un)substituted C3-8 cycloalkyl ring optionally containing 1-3 heteroatoms selected from O, N, and S; or (R3 and R4) or (R3 and R4) together represent oxo; (R1 and R2) or (R3 and R4) together represent CH2; or (R1 and R3 or R4) or (R2 and R3 or R4) together represent a single bond; Q = N, (un)substituted NH; when n = an integer of 2-4, X = group A, O-(un)substituted N-hydroxy-C1-6 alkanimidoyl; when m = an integer of 2-6, Y = group A, HO; group A = halo, each (un)substituted C1-6 alkyl, C3-6 cycloalkyl, C2-6 alkenyl, C2-6 alkynyl, aryl, heteroaryl, C1-6 alkylthio, C1-6 alkylsulfinyl, C1-6 alkylsulfonyl, or NH2, acyl, cyano; n = an integer of 0-4; m = an integer of 0-6] or salts thereof are prepared These compds. show an excellent effect on a variety of plant pathogens, particularly for rice blast (Pyricularia oryzae), without causing damage to a host plant. Thus, 230 mg 2-chloroquinoline-3-carbonitrile and 350 mg 3-(2-fluorophenyl)-2,3-dimethylbutan-2-ol were added to 1.0 mL H2SO4 and stirred at room temperature for 1 h. The reaction mixture was poured into H2O and made alkaline by adding aqueous NH3 solution and extracted with EtOAc to give, after purification by TLC, 9% 2-chloro-3-(5-fluoro-3,3,4,4-tetramethyl-3,4-dihydroisoquinolin-1-yl)quinoline (II). II and 3-(5-fluoro-3,4-dihydroisoquinolin-1-yl)quinoline at 300 ppm completely controlled Botrytis cinerea on tomato seedlings and Pyricularia oryzae on rice seedlings, resp.

MSTR 1



G11 = o-C6H4 (opt. substd. by 1 or more G26)
G12 = 2-148 1-5

G13 = 36



Patent location: claim 1
Note: or salts
Note: substitution is restricted
Note: additional ring formation also claimed

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:45396 MARPAT
TITLE: Preparation of bis-hetero/aryls, particularly bis-indoles, for treatment of protein folding disorders
INVENTOR(S): Carter, Michael D.; Hadden, Mark; Weaver, Donald F.; Jacobo, Sheila Marie H.; Lu, Erhu
PATENT ASSIGNEE(S): Queen's University At Kingston, Can.
SOURCE: PCT Int. Appl., 251pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006125324	A1	20061130	WO 2006-CA878	20060529

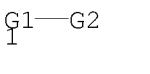
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU 2006251832	A1	20061130	AU 2006-251832	20060529
CA 2609980	A1	20061130	CA 2006-2609980	20060529
EP 1893576	A1	20080305	EP 2006-752731	20060529
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008545663	T	20081218	JP 2008-512659	20060529
US 20070015813	A1	20070118	US 2006-443396	20060530
IN 2007DN09094	A	20080627	IN 2007-DN9094	20071126
PRIORITY APPLN. INFO.:			US 2005-685369P	20050527
			US 2005-685609P	20050527
			US 2005-685610P	20050527
			US 2005-709474P	20050819
			US 2005-719615P	20050922
			US 2006-788519P	20060331
			WO 2006-CA878	20060529

AB The invention is related to a method for treating a protein folding disorder such as Alzheimer's disease, dementia, Parkinson's disease, Huntington's disease and prion-based spongiform encephalopathy by administering to a subject a compound of formula A(CR1R2)nB [I; A, B = independently a mono- or bicyclic hetero/aryl group optionally substituted with 1-4 substituents ; n = 0-1; when n = 1, R1, R2 = independently H, cyclo/alkyl, alkoxy, hydroxy, halo, aryl], its analog or its pharmaceutically acceptable salt, particularly a bis-indole. The invention is also related to the use of I as protein aggregation inhibitors. Thus, reacting 5-bromoisatin with 5-bromoindole, followed by reduction, and treatment of the bis-indole with NaOMe/MeOH in DMF in presence of CuI gave 5-methoxy-3-(5-methoxyindol-3-yl)indole. In a β-amyloid (Aβ) thioflavin T (ThT) aggregation fluorescence assay, selected biaryls I inhibited the aggregation of Aβ1-40 and Aβ1-42. In fluorescence assays, I inhibited the aggregation of tau441 and α-synuclein protein.

MSTR 1



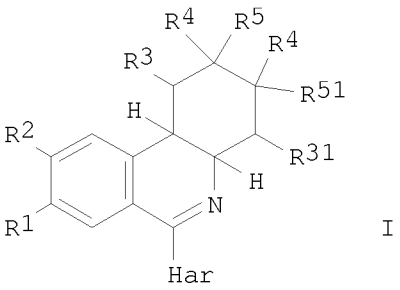
G1 = isoquinolinyl
G2 = quinolinyl
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: also incorporates claim 65

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 145:314837 MARPAT
TITLE: Preparation of 6-heteroaryl-1,2,3,4,4a,10b-hexahydrophenanthridines as PDE-4 inhibitors for the treatment of respiratory disorders
INVENTOR(S): Kautz, Ulrich; Schmidt, Beate; Flockerzi, Dieter; Chiesa, Maria Vittoria; Hatzelmann, Armin; Zitt, Christof; Wohlsen, Andrea; Marx, Degenhard; Kley, Hans-Peter
PATENT ASSIGNEE(S): Altana Pharma A.-G, Germany
SOURCE: PCT Int. Appl., 57pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006092417	A1	20060908	WO 2006-EP60370	20060301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006219862	A1	20060908	AU 2006-219862	20060301
CA 2598858	A1	20060908	CA 2006-2598858	20060301
EP 1856092	A1	20071121	EP 2006-708589	20060301
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008531654	T	20080814	JP 2007-557506	20060301
US 20080167316	A1	20080710	US 2007-884935	20070918
PRIORITY APPLN. INFO.:			EP 2005-101589	20050302
			WO 2006-EP60370	20060301

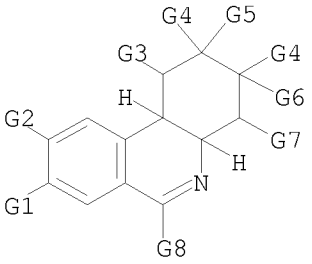
OTHER SOURCE(S): CASREACT 145:314837
GI



I

AB 6-Heteroaryl-1,2,3,4,4a,10b-hexahydrophenanthridines (shown as I; variables defined below; e.g. (4aR*,10bR*)-9-(2,2-difluoroethoxy)-6-(2-methylsulfanylpyrimidin-5-yl)-8-methoxy-1,2,3,4,4a,10b-hexahydrophenanthridine (1)) are novel effective PDE4 inhibitors (no data) useful against respiratory (airway) disorders (no data). For I: either R1 is hydroxy, 1-4C-alkoxy, 3-7C-cycloalkoxy, 3-7C-cycloalkylmethoxy, or completely or predominantly F-substituted 1-4C-alkoxy, and R2 is 2,2-difluoroethoxy; or R1 is 2,2-difluoroethoxy, and R2 is hydroxy, 1-4C-alkoxy, 3-7C-cycloalkoxy, 3-7C-cycloalkylmethoxy, or completely or predominantly F-substituted 1-4C-alkoxy; and R3 is H or 1-4C-alkyl, R31 is H or 1-4C-alkyl, or in which R3 and R31 together are a 1-4C-alkylene group; R4 is H or 1-4C-alkyl; R5 is H; R51 is H, or R5 and R51 together = addnl. bond. Har is (un)substituted by R6 and/or R7 and/or R8, and is a 5- to 10-membered monocyclic or fused bicyclic unsatd. or partially saturated heteroaryl radical comprising 1 to 4 heteroatoms = O, N and S; R6 is halogen, 1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxy-2-4C-alkoxy, 1-4C-alkylthio, mercapto, cyano, 1-4C-alkoxycarbonyl, carboxy, hydroxy, oxo, -AN(R61)R62, pyridyl, or completely or partially F-substituted 1-4C-alkyl, in which A is a bond or 1-4C-alkylene, R61 is H or 1-4C-alkyl, R62 is H or 1-4C-alkyl, or R61 and R62 together and with inclusion of the N atom, to which they are attached, form a heterocyclic ring; R7 = 1-4C-alkyl, 1-4C-alkoxy, 1-4C-alkoxy-2-4C-alkoxy, 1-4C-alkylthio, mercapto, hydroxy, oxo, amino or mono- or di-1-4C-alkylamino; and R8 is halogen, 1-4C-alkyl or 1-4C-alkoxy. Although the methods of preparation are not claimed, prepns. and/or characterization data for 5 examples of I are included. For example, 1 was prepared (31% over 2 steps) by cyclization of [(1R*,2R*)-2-[3-(2,2-difluoroethoxy)-4-methoxyphenyl]cyclohexyl]amine with 2-methylsulfanylpyrimidine-5-carboxylic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and PCl5; preparation of the cyclohexylamine required 6 steps starting from isovanillin and 2-bromo-1,1-difluoroethane.

MSTR 1



G8 = quinolinyl
Patent location: claim 1
Note: substitution is restricted
Note: additional oxo substitution also claimed
Note: and salts, N-oxides, and salts of the N-oxides

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 145:314823 MARPAT
TITLE: Preparation of 3-(2-naphthyl)pyridines and related compounds as human corticoid synthases CYP11B1 and CYP11B2 inhibitors
INVENTOR(S): Hartmann, Rolf W.; Voets, Marieke; Mueller-Vieira, Ursula
PATENT ASSIGNEE(S): Universitaet des Saarlandes, Germany
SOURCE: PCT Int. Appl., 92pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006092430	A1	20060908	WO 2006-EP60410	20060302
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102005009705	A1	20060907	DE 2005-102005009705	20050303
DE 102005029372	A1	20070104	DE 2005-102005029372	20050624
EP 1853261	A1	20071114	EP 2006-708611	20060302
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: DE 2005-102005009705 20050303

DE 2005-102005029372200506 24
WO 2006-EP60410 20060302

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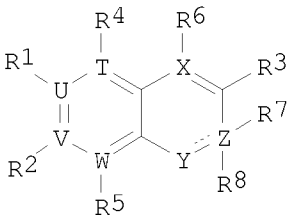

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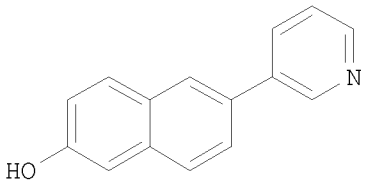


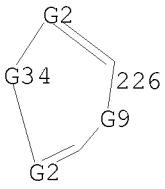
II

AB Title compds. I [Z = [C]n; n = 0-2; Y = O, S, NR10, etc.; T, U, V, W, X = C, N; R1, R2 = H, halo, CN, etc.; R3 = monocyclic or bicyclic heteroaryl ring with provisos; R4, R5, R6, R7, R8 = H, halo, CN, etc.; R10 = H, alkyl, alkylcarbonyl, etc.] and their pharmaceutically acceptable salts were prepared For example, claimed naphthylpyridine II was prepared from 6-bromo-2-methoxynaphthalenein 2-steps. In human CYP11B2 inhibition assays, 46-examples of compds. I at 500 nM exhibited 16-97% inhibition.

MSTR 1

G1—G21

G1 = 226



G2 = N / CH
G9 = (0-1) CH2
G21 = isoquinolinyl
G34 = o-C6H4
Patent location: claim 1
Note: also incorporates claim 14
Note: substitution is restricted
Note: or pharmaceutically acceptable salts and isomers
Note: additional substitution also claimed

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

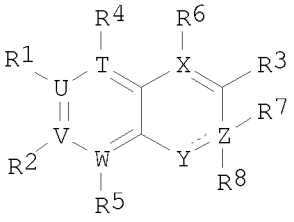
L5 ANSWER 10 OF 29 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 145:314821 MARPAT
TITLE: Preparation of 3-(2-naphthyl)pyridines and related compounds as human corticoid synthases CYP11B1 and CYP11B2 inhibitors
INVENTOR(S): Hartmann, Rolf W.; Voets, Marieke; Mueller-Vieira, Ursula
PATENT ASSIGNEE(S): Universitaet des Saarlandes, Germany
SOURCE: Ger. Offen., 50pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102005009705	A1	20060907	DE 2005-102005009705	20050303
WO 2006092430	A1	20060908	WO 2006-EP60410	20060302
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1853261	A1	20071114	EP 2006-708611	20060302
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: DE 2005-102005009705 20050303
DE 2005-102005029372 20050624
WO 2006-EP60410 20060302

GI



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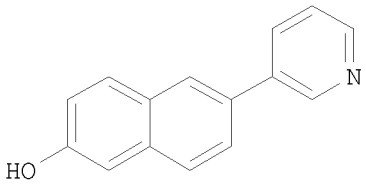


II

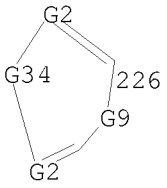
AB Title compds. I [Z = [C]n; n = 0-2; Y = O, S, NR10, etc.; T, U, V, W, X = C, N; R1, R2 = H, halo, CN, etc.; R3 = monocyclic or bicyclic heteroaryl ring with provisos; R4, R5, R6, R7, R8 = H, halo, CN, etc.; R10 = H, alkyl, alkylcarbonyl, etc.] and their pharmaceutically acceptable salts were prepared For example, claimed naphthylpyridine II was prepared from

6-bromo-2-methoxynaphthalenein 2-steps. In human CYP11B2 inhibition assays, 46-examples of compds. I at 500 nM exhibited 16-97% inhibition.

MSTR 1

G1—G21

G1 = 226



G2 = N / CH
G9 = (0-1) CH2
G21 = isoquinolinyl
G34 = o-C6H4
Patent location: claim 1
Note: also incorporates claim 14
Note: substitution is restricted
Note: or pharmaceutically acceptable salts and isomers
Note: additional substitution also claimed

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 145:293082 MARPAT
TITLE: Preparation of pyrazolyl substituted xanthines as antagonists of A2B receptors
INVENTOR(S): Wang, Guoquan; Rieger, Jayson M.; Thompson, Robert D.
PATENT ASSIGNEE(S): Adenosine Therapeutics, LLC, USA
SOURCE: PCT Int. Appl., 70pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006091897	A2	20060831	WO 2006-US6746	20060227
WO 2006091897	A3	20070222		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

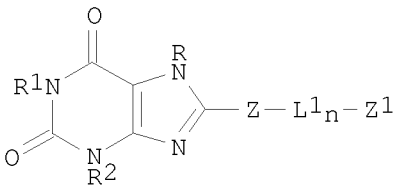
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 20070249598 A1 20071025 US 2006-362392 20060227

PRIORITY APPLN. INFO.: US 2005-656086P 20050225

OTHER SOURCE(S): CASREACT 145:293082

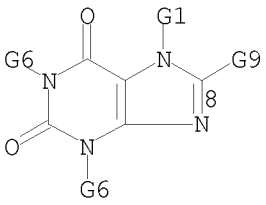
GI



I

AB Title compds. represented by the formula I [wherein R = H, (halo)alkyl, cycloalkyl, etc.; R1, R2 = independently H, (cyclo)alkyl, alkenyl, etc.; L1 = (un)substituted C, N, O, S or P, with proviso; Z = (un)substituted heteroaryl; Z1 = (un)substituted (hetero)aryl; n = 0-2; and pharmaceutically acceptable salts thereof] were prepared as A2B adenosine receptor (ARs) antagonists (no data). For example, cyclization of 6-chloronicotinoyl chloride with 5,6-diamino-1,3-dipropyluracil, and followed by reaction with hydrazine in EtOH, gave 1,3-dipropyl-8-(6-hydrazino-3-pyridyl)xanthine. I were tested for affinity with A2B receptors in HEK-293 cells. Thus, I and their pharmaceutical compns. are useful as A2B adenosine receptors antagonists for the treatment of A2B receptors mediated diseases, such as asthma, allergy immune disease, and etc.

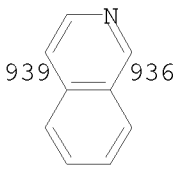
MSTR 1B



G9 = 19

G10 = quinolinyl

G19 = 939-8 936-20



Patent location: claim 1
Note: also incorporates claim 80
Note: or pharmaceutically acceptable salts
Note: substitution is restricted

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 143:306329 MARPAT
TITLE: Preparation of 2-pyridinyl[7-(substituted-pyridin-4-yl)pyrazolo[1,5-a]pyrimidin-3-yl]methanones as GABA receptor modulators for treating neurological and psychiatric diseases
INVENTOR(S): Skolnick, Phil
PATENT ASSIGNEE(S): Dov Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005084439	A1	20050915	WO 2005-US7238	20050302
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050277639	A1	20051215	US 2005-70394	20050301
AU 2005218641	A1	20050915	AU 2005-218641	20050302
CA 2559295	A1	20050915	CA 2005-2559295	20050302
EP 1725101	A1	20061129	EP 2005-733685	20050302
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
BR 2005008124	A	20070717	BR 2005-8124	20050302
JP 2007526334	T	20070913	JP 2007-502056	20050302
ZA 2006007796	A	20080130	ZA 2006-7796	20050302
MX 2006009974	A	20061208	MX 2006-9974	20060904

IN 2006DN05103	A	20070622	IN 2006-DN5103	20060904
NO 2006004440	A	20061030	NO 2006-4440	20060929
KR 2006135017	A	20061228	KR 2006-720714	20061002
PRIORITY APPLN. INFO.:			US 2004-549418P	20040302
			US 2005-70394	20050301
			WO 2005-US7238	20050302

OTHER SOURCE(S): CASREACT 143:306329

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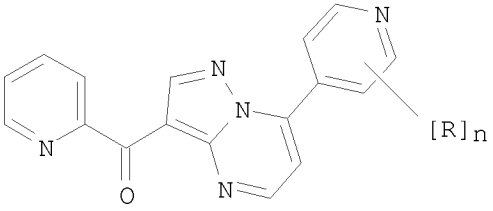

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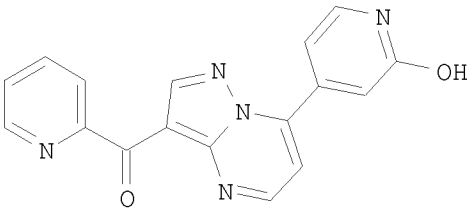
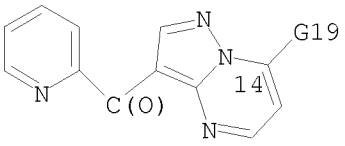


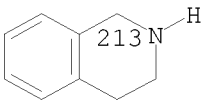
II

AB Title compds. I [n = 1-4; each R = independently halo, OH, alkyl, alkoxy, NO2, NH2, alkanoyl, alkyl, etc.] were prepared as γ-aminobutyric acid (GABA) receptor modulators useful in the treatment of neurol. and psychiatric diseases. Thus, reacting 3-dimethylamino-1-(2-fluoro-4-pyridyl)-2-propen-1-one (preparation given) with (3-amino-1H-pyrazol-4-yl)(pyridin-2-yl)methanone gave pyrazolopyrimidine II in 86% yield. In a radioligand assay, selected I exhibited good affinity for the GABAA receptor, as demonstrated by their ability to inhibit [3H]Ro 15-1788 binding to the receptor with an IC50 < 10 μM. I and their compns. are useful for preventing and treating stroke, head trauma, epilepsy, pain, migraine, mood disorders, anxiety, post traumatic stress disorder, obsessive compulsive disorders, mania, bipolar disorders, schizophrenia, seizures, convulsions, tinnitus, neurodegenerative disorders including Alzheimer's disease, amyotrophic lateral sclerosis and Parkinson's disease, Huntington's chorea, depression, bipolar disorders, mania, trigeminal and other neuralgia, neuropathic pain, hypertension, cerebral ischemia, cardiac arrhythmia, myotonia, substance abuse, myoclonus, essential tremor, dyskinesia and other movement disorders, neonatal cerebral hemorrhage, and spasticity, and other psychiatric and neurol. disorders mediated by GABA and/or GABA receptors.

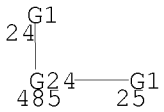
MSTR 1



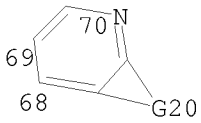
G1 = 213



G19 = 485



G20 = CH=CHCH=CH
G24 = 68-14 69-24 70-25



Patent location: claim 1
Note: also incorporates broader disclosure
Note: additional substitution also claimed

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 143:306200 MARPAT
TITLE: Preparation of hydroxy-6-heteroarylphenanthridines as PDE4 inhibitors
INVENTOR(S): Schmidt, Beate; Flockerzi, Dieter; Hatzelmann, Armin; Zitt, Christof; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Kautz, Ulrich
PATENT ASSIGNEE(S): Altana Pharma AG, Germany; Kautz, Ulrich
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

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     WO 2005085225     A1   20050915       WO 2005-EP50931  20050302
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
             SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
     AU 2005219576     A1   20050915       AU 2005-219576   20050302
     CA 2557752        A1   20050915       CA 2005-2557752  20050302
     EP 1723135        A1   20061122       EP 2005-716889   20050302
         R:  AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,
             HR, LV, MK, YU
     CN 1922170        A    20070228       CN 2005-80005768 20050302
     BR 2005008321     A    20070724       BR 2005-8321     20050302
     JP 2007526283     T    20070913       JP 2007-501289   20050302
     ZA 2006006176     A    20080326       ZA 2006-6176     20060726
     MX 2006009695     A    20070326       MX 2006-9695     20060825
     US 20080167301    A1   20080710       US 2006-590803   20060825
     IN 2006MN01086    A    20070413       IN 2006-MN1086   20060911
     NO 2006004221     A    20060919       NO 2006-4221     20060919
     KR 2006135837     A    20061229       KR 2006-719892   20060926
PRIORITY APPLN. INFO.:                      EP 2004-4973     20040303
                                            EP 2004-106359   20041207
                                            WO 2005-EP50931  20050302
OTHER SOURCE(S):         CASREACT 143:306200
GI
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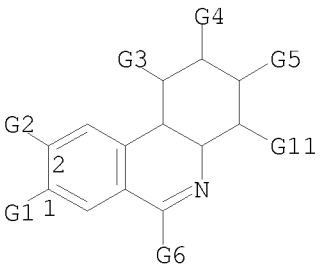
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1, R2 = independently OH and F-substituted/cyclo/alkoxy, 2,2-difluoroethoxy, etc.; R1-R2 = alkylenedioxy; R3, R31 = independently H, alkyl; R4 = H, alkyl, OR41; R5 = OR51; R41, R51 = independently H, alkoxy/hydroxy/F-substituted/alkyl, alkylcarbonyl; Har = (un)substituted 5-10 membered monocyclyl or fused bicyclyl unsatd. or partially saturated heteroaryl comprising 1-4 heteroatoms selected from O, N, S; their salts, N-oxides, and salts of N-oxides] were prepared as effective PDE4 inhibitors for treating respiratory diseases. Thus, coupling of 2,6-dimethoxynicotinic acid with amine (1RS,3RS,4RS)-II (general preparation given, no data for its intermediates), cyclization, and saponification gave phenanthridine (1RS,3RS,4RS)-III. Selected I inhibited PDE4 with -log IC50 values in the range of 6.91 to 9.4 mol/l.

MSTR 1



G6 = quinolinyl
Patent location: claim 1
Note: substitution is restricted
Note: additional oxo substitution also claimed
Note: and salts, N-oxides, and salts of N-oxides
Note: additional substitution also claimed

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 143:193918 MARPAT
TITLE: Preparation of quinoline compounds as agricultural fungicides
INVENTOR(S): Ito, Hiroyuki; Fujiwara, Kota; Morimoto, Munetsugu; Tanaka, Harukazu; Tamagawa, Yasushi; Komai, Hiroyuki
PATENT ASSIGNEE(S): Sankyo Agro Company, Limited, Japan
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070917	A1	20050804	WO 2005-JP1171	20050121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005206437	A1	20050804	AU 2005-206437	20050121
CA 2554187	A1	20050804	CA 2005-2554187	20050121
EP 1736471	A1	20061227	EP 2005-704224	20050121
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1910172	A	20070207	CN 2005-80002960	20050121
US 20080275242	A1	20081106	US 2006-587100	20060721

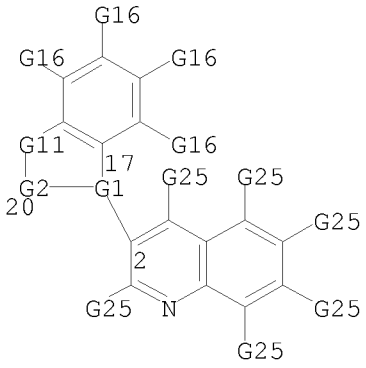
KR 2006127154 A 20061211 KR 2006-716976 20060823
PRIORITY APPLN. INFO.: JP 2004-15360 20040123
WO 2005-JP1171 20050121

GI

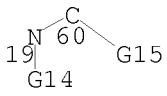
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I, II, III, IV [R1, R2 = optionally substituted alkyl with halo, etc.; R3, R4 = H, halo, etc.; R5 = H, acyl, etc.; X = halo, etc.; Y = halo, etc.; n = 0-4; m = 0-6] were prepared For example, cyclization of quinoline-3-carbonitrile with a mixture of 1-fluoro-(2-methylpropen-1-yl)benzene and 1-fluoro-(2-methylpropen-2-yl)benzene in the presence of methanesulfonic acid afforded 3-(5-fluoro-3,3-dimethyl-3,4-dihydroisoquinolin-1-yl)quinoline (V) in 47% yield. Compds. V exhibited the fungicidal activity of 100% against pyricularia oryzae. Formulations are given.

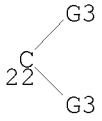
MSTR 1



G1 = 60-17 19-20 60-2



G2 = 22



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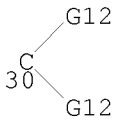


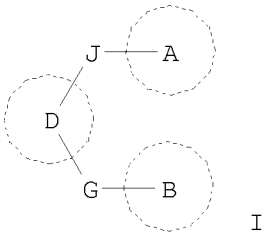
Patent location: claim 1
Note: or salts

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 142:316701 MARPAT
TITLE: Preparation of pyridinyl benzenesulfonylamide derivatives as chemokine receptor antagonist
INVENTOR(S): Habashita, Hiromu; Ochiai, Hiroshi; Tokuda, Natsuko; Shibayama, Shiro; Watanabe, Noriki; Komiya, Takaki; Takeda, Kazuhiko
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023771	A1	20050317	WO 2004-JP13186	20040903
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1661889	A1	20060531	EP 2004-772925	20040903
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 20070254886	A1	20071101	US 2004-570813	20040903
PRIORITY APPLN. INFO.:			JP 2003-314248	20030905
			JP 2004-149683	20040519
			WO 2004-JP13186	20040903

GI



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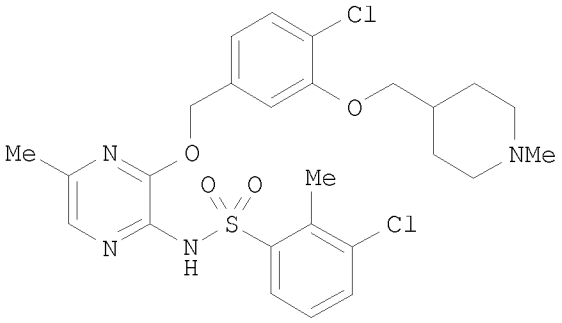
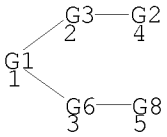


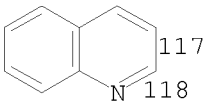
II

AB Title compds. represented by the formula I [wherein ring A, B, D = independently (un)substituted cyclic group; J = OCH2, NHCH2, NHCO, C.tplbond.C; G = NHSO2; and their salts, N-oxides, solvates, or prodrugs thereof] were prepared as chemokine receptor (CCR) antagonist. For example, reaction of 3-chloro-2-methylbenzenesulfonylchloride with [4-chloro-3-[(1-methylpiperidin-4-yl)methoxy]phenyl]methanol gave II. II showed inhibition of human CCR4 with an IC50 value of 0.23 μM in the presence of 0.3% BSA. Thus, I and their pharmaceutical compns. are useful as chemokine receptor (especially CCR4 and/or CCR5) antagonists for the prevention and/or treatment of diseases associated with chemokine receptor, such as inflammatory, allergic diseases, organ transplant rejection reaction, and neoplasms.

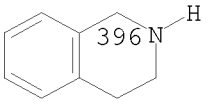
MSTR 1



G1 = 117-2 118-3



G2 = 396



G3 = bond
G6 = bond
Patent location: claim 1

Note: or salts or n-oxides, solvates or prodrugs
Note: not both G3 and G6 contain more than 4 atoms

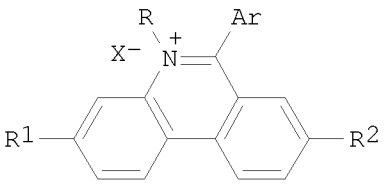
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 142:261402 MARPAT
TITLE: Preparation of phenanthridine derivatives as anti-viral agents
INVENTOR(S): Tor, Yitzhak; Luedtke, Nathan
PATENT ASSIGNEE(S): The Regents of the University of California, USA
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016343	A1	20050224	WO 2004-US26188	20040811

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-495445P 20030811
OTHER SOURCE(S): CASREACT 142:261402
GI



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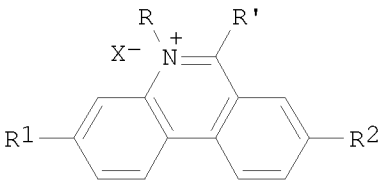
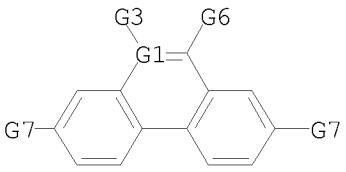


II

AB A series of substituted phenanthridine derivs. (e.g. ethidium derivs. I and II) (R, R' = each functionalized or unfuctionalized alkyl, alkenyl, alkynyl, aryl, aralkyl, heteroaryl, or alkheteroaryl; wherein alkheteroaryl refers to a straight-chain alkyl, alkenyl or alkynyl group where one of the hydrogen atoms bonded to a terminal carbon atom is replaced with a heteroaryl moiety; Ar = optionally substituted Ph or any aromatic residue; R1, R2 = independently selected from the group consisting of a urea, a substituted urea, a di-Boc-guanidine, conjugated amino acids, carbohydrates, NH2, 1-pyrrolyl, guanidino, and benzyloxycarbonylamino) has been synthesized by converting the amines at the 3- and 8- positions of

ethidium bromide into guanidine, pyrrole, urea, and various substituted ureas. The resulting derivs. exhibit unique spectral properties that change upon binding nucleic acids. These compds. maximize the binding affinity of phenanthridine to viral RNA and DNA sites, while minimizing the binding to host cell DNA. The antiviral activity of the compds. can thus be maximized, while toxic and/or mutagenic side effects are minimized. The compds. have an enhanced affinity and specificity for HIV-1 rev response element as compared to ethidium bromide. Thus, ethidium bromide was acylated by Ph chloroformate in a mixture of 500 mM sodium phosphate buffer (pH 6.6) and acetone at room temperature for 10 min to give 3,8-bis(phenoxycarbonylamino)-6-phenyl-5-ethylphenanthridinium dihydrogenphosphate which was heated with NH3 in methanol in a pressure tube at 80° for 1 h to give 3,8-di(ureido)-6-phenyl-5-ethylphenanthridinium chloride (III). III in vitro showed the binding affinity to DNA with Kd of 106, μM, IC50 of >1/0 μM μg/mL against HIV-1 rev response element, IC50 of 15 μM against HIV-1, and exhibited no toxicity against HeLa cells at 10 μM.

MSTR 1B



G1 = 19

G6 = quinolinyl
Patent location: claim 2
Note: substitution is restricted

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

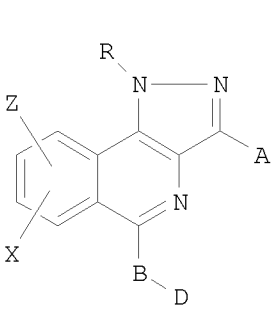
L5 ANSWER 17 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 142:219282 MARPAT
TITLE: Pyrazoloisoquinoline derivatives as kinase inhibitors, and their preparation, pharmaceutical compositions, and use in the treatment of diseases involving increased NIK activity.
INVENTOR(S): Majid, Tahir N.; Hopkins, Corey; Pedgrift, Brian L.; Collar, Nicola; Wirtz-Brugger, Friederike; Merrill, Jean
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012301	A1	20050210	WO 2003-US21144	20030703
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2531291	A1	20050210	CA 2003-2531291	20030703
AU 2003304380	A1	20050215	AU 2003-304380	20030703
EP 1644371	A1	20060412	EP 2003-742433	20030703
EP 1644371	B1	20080213		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
CN 1802373	A	20060712	CN 2003-826733	20030703
BR 2003018383	A	20060725	BR 2003-18383	20030703
JP 2007521227	T	20070802	JP 2005-507449	20030703
AT 386034	T	20080315	AT 2003-742433	20030703
MX 2005013485	A	20060405	MX 2005-13485	20051213
MX 2005013486	A	20080929	MX 2005-13486	20051213
KR 2006063872	A	20060612	KR 2006-700178	20060103
IN 2006CN00034	A	20070601	IN 2006-CN34	20060103
PRIORITY APPLN. INFO.:			US 2003-461795	20030613
			WO 2003-US21144	20030703

OTHER SOURCE(S): CASREACT 142:219282

GI



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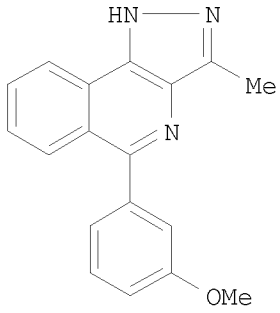
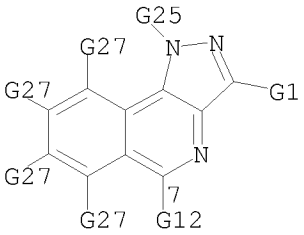


II

AB Novel pyrazoloisoquinoline derivs. I, useful as kinase inhibitors, are disclosed [wherein: A = (un)substituted alkyl, OH or derivs., SH or derivs., CO2H or derivs., NH2 or derivs., cyano, (un)substituted heteroaryl, cycloalkyl, or heterocyclyl; B = bond, (un)substituted CH:CH, C.tplbond.C, O(CH2)1-4, O, S, CO, (un)substituted NH, NHCO, CONH, NHSO2, SO2NH, NHCONH, or C1-4 alkylene; D = (un)substituted alkyl, heteroaryl,

heterocyclyl, aryl, or cycloalkyl; or BD = H, halo, fluoroalkoxy, (un)substituted alkyl; R = H, alkyl, (un)substituted arylalkyl; X, Z = H, alkyl, OH, alkoxy, halo, fluoroalkyl, CO2H or derivs., NH2 or derivs., cyano, SH or derivs., (un)substituted heterocyclyl or cycloalkyl; with provisos]. I are suitable for producing pharmaceuticals for the prophylaxis and therapy of diseases whose course involves an increased activity of NIK. Approx. 75 examples were prepared, and these plus addnl. compds. are individually claimed. For instance, 3-methoxybenzoic acid was condensed with 3-methyl-5-phenyl-1H-pyrazol-4-ylamine using HOBT and DIPC, and the resultant benzamide derivative was cyclized by treatment with P2O5 and POCl3 in xylene at 160°, to give title compound II. In a test for inhibition of release of IL1β, TNFα, and IL6 in LPS-stimulated heparinized whole human blood, II had IC50 values of 1.3, 1.2, and 7 μM, resp.

MSTR 1



G12 = 55

G13 = quinolinyl
G14 = bond
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: substitution is restricted
Note: also incorporates broader disclosure
Stereochemistry: or stereoisomeric forms

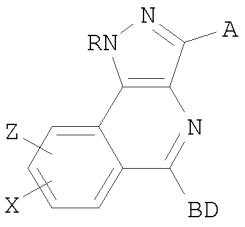
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 142:134600 MARPAT
TITLE: Preparation of pyrazoloisoquinolines as NFκB-inducing kinase (NIK) inhibitors
INVENTOR(S): Majid, Tahir Nadeem; Hopkins, Corey; Pedgrift, Brian Leslie; Collar, Nicola; Wirtz-Brugger, Friederike; Merrill, Jean
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 41 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050009859	A1	20050113	US 2003-613588	20030703
US 7132428	B2	20061107		
PRIORITY APPLN. INFO.:			US 2003-613588	20030703

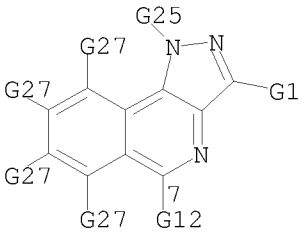
GI



I

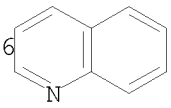
AB Title compds. [I; A = (substituted) alkyl, heteroaryl, heterocyclyl; B = bond, C:CR1, C.tplbond.C, O(CH2)a, O, S, CO, NR2, NR2CO, (substituted) alkylene, etc.; R1 = H, alkyl, aryl, etc.; R2 = alkyl, OH, alkoxy, halo, etc.; a = 1-4; D = (substituted) alkyl, heteroaryl, heterocyclyl, aryl, cycloalkyl; BD = H, halo, fluoroalkyl, fluoroalkoxy, etc.; R = H, alkyl, (substituted) aralkyl; X, Z = H, alkyl, OH, alkoxy, halo, fluoroalkyl, CO2R1, N(R1)2, cyano, SR1, SOR1, SO2R1, (substituted) heterocyclyl, cycloalkyl, etc.; with provisos], were prepared Thus, hydroxybenzotriazole, diisopropyl carbodiimide, benzoic acid, and 3,5-diphenyl-1H-pyrazol-4-ylamine were stirred 12 h in MeCN to give a residue which was heated with P2O5 and POCl3 in xylene at 150° for 4 h followed by stirring at room temperature for 12 h to give 3,5-diphenyl-1H-pyrazolo[4,3-c]isoquinoline. The latter inhibited TNFα release in LPS-stimulated human peripheral blood lymphocytes with IC50 = 1.9 nM.

MSTR 1



G12 = 55

G13 = 246

246 

G14 = bond
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: substitution is restricted
Stereochemistry: or stereoisomeric forms

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

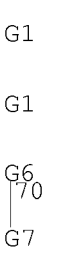
L5 ANSWER 19 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 139:401354 MARPAT
TITLE: Light emitting device and display apparatus using same
INVENTOR(S): Tsuboyama, Akira; Okada, Shinjiro; Takiguchi, Takao; Ueno, Kazunori; Igawa, Satoshi; Kamatani, Jun; Furugori, Manabu; Iwawaki, Hironobu
PATENT ASSIGNEE(S): Canon Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003095587	A1	20031120	WO 2003-JP5601	20030502
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2003332074	A	20031121	JP 2002-134098	20020509
AU 2003231579	A1	20031111	AU 2003-231579	20030502
US 20050221115	A1	20051006	US 2004-507316	20040910
US 7361414	B2	20080422		
PRIORITY APPLN. INFO.:			JP 2002-134098	20020509
			WO 2003-JP5601	20030502

AB A light emitting device is described comprising a pair of electrodes provided on a substrate, and an organic substance layer provided between the electrode and comprising a copper coordination compound having a partial structure represented by the general formula (1): Cu-N(A), wherein heterocyclic ring A including nitrogen atom N represents a pyridine or quinoline ring, or a heterocyclic ring having one or more C-H of a pyridine or quinoline ring replaced with nitrogen atom(s), and the

heterocyclic rings may have a substituent selected from the group consisting of an aromatic ring group that may have a substituent, a halogen atom, or a linear or branched alkyl group having 1-10 C atoms in which only a single methylene group or two or more non-adjacent methylene groups of the alkyl group may be substituted with -O-, -S-, -CO-, -CO-O-, -O-CO-, -CH=CH-, or -CC-, and a hydrogen atom of the alkyl group may be substituted with a fluorine atom or an aromatic ring group. A display apparatus comprising the light emitting device is also described.

MSTR 1



G6 = isoquinolinyl (opt. substd.)
G7 = quinolinyl (opt. substd.)
Patent location: claim 1
Note: as complexes with G10
Note: additional ligands also claimed

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 20 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 136:369742 MARPAT
TITLE: Preparation of annelated pyrido[1,2-a]pyrazinediones as cGMP-specific phosphodiesterase inhibitors
INVENTOR(S): Orme, Mark W.; Sawyer, Jason Scott; Schultze, Lisa M.
PATENT ASSIGNEE(S): Lilly Icos LLC, USA
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002038563	A2	20020516	WO 2001-US31386	20011009
WO 2002038563	A3	20020906		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

	BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2427608		A1	20020516	CA 2001-2427608	20011009
AU 2001096699		A	20020521	AU 2001-96699	20011009
EP 1366050		A2	20031203	EP 2001-977592	20011009
EP 1366050		B1	20050413		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004513169		T	20040430	JP 2002-541096	20011009
JP 4101054		B2	20080611		
AT 293111		T	20050415	AT 2001-977592	20011009
ES 2241879		T3	20051101	ES 2001-977592	20011009
US 20040038978		A1	20040226	US 2003-398819	20030409
US 6960587		B2	20051101		
MX 2003004023		A	20040212	MX 2003-4023	20030507
PRIORITY APPLN. INFO.:				US 2000-246805P	20001108
				WO 2001-US31386	20011009

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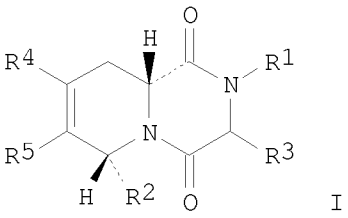
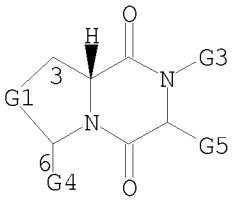


I

AB Title compds. [e.g., I; R1 = e.g., Me; R2 = e.g., piperonyl;R3 = H or alkyl; R4R5 = atoms to complete a imidazole, thiazole, benzene, or pyridine ring, etc.] were prepared Thus, D-histamine Me ester (preparation given) was cyclocondensed with piperonal and the N-chloroacetylated product cyclocondensed with MeNH2 to give I (R1 = Me, R2 = piperonyl, R3 = H, R4R5 = N:CHN). Data for biol. activity of 2 prepared I were given.

MSTR 1



G1 = o-C6H4
G4 = quinolinyl
Patent location: claim 1
Note: additional ring formation also claimed
Note: and pharmaceutically acceptable salts

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 136:118400 MARPAT
TITLE: Novel 6-heteroarylphenanthridines
INVENTOR(S): Bundschuh, Daniela; Flockerzi, Dieter; Grundler, Gerhard; Hatzelmann, Armin; Kley, Hans-Peter; Weinbrenner, Steffen; Gutterer, Beate
PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006270	A1	20020124	WO 2001-EP7818	20010707
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CZ, EC, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2415935	A1	20020124	CA 2001-2415935	20010707
EP 1303506	A1	20030423	EP 2001-962844	20010707
EP 1303506	B1	20050202		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004504316	T	20040212	JP 2002-512173	20010707
AT 288430	T	20050215	AT 2001-962844	20010707
ES 2236288	T3	20050716	ES 2001-962844	20010707
AU 2001283935	B2	20060713	AU 2001-283935	20010707
US 20040038979	A1	20040226	US 2002-297765	20021209
US 6884802	B2	20050426		
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			WO 2001-EP7818	20010707

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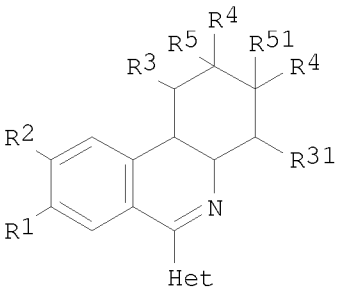
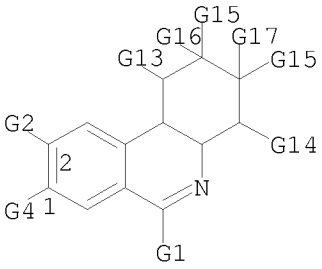


I

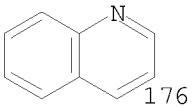
AB Compds. I, [which R and R = independently OH, (cyclo)alkoxy,

cycloalkylmethoxy, or F-substituted alkoxy; or R and R taken together = 1,2-alkylenedioxy; R, R, and R = independently H or alkyl; or R and R taken together = alkylene; R and R = H or together form a double bond; Het = an (un)substituted pyrrolyl, furanyl, thienyl, pyrazolyl, imidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, thiadiazolyl, pyrimidinyl, pyrazinyl or pyridazinyl radical, or an (un)substituted fused bi-or tricyclic ring system comprising at least one aromatic ring and up to 4 heteroatoms-selected from the group consisting of O, S or N, which is bonded to the phenanthridinyl radical via one of the rings comprising one or more heteroatoms] were prepared as reactive PDE4 inhibitors and treating airway diseases. For example, (-)-cis-8,9-dimethoxy-6-quinolin-4-yl-1,2,3,4,4a,10b-hexahydrophenanthridine was prepared by cyclocondensation of (-)-cis-N-[2-(3,4-dimethoxyphenyl)cyclohexyl]quinoline-4-carboxamide (preparation given). In an assay against phosphodiesterase IV (PDE4), I showed inhibitory activity with -log IC50 value of 7.4.

MSTR 1



G1 = 176



Patent location: claim 1
Note: and salts and N-oxides
Note: substitution is restricted

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 22 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 136:69825 MARPAT
TITLE: Preparation of heterocycles containing a pyrido[1,2-a]pyrazinedione subunit for therapeutic use as phosphodiesterase V inhibitors
INVENTOR(S): Orme, Mark W.; Sawyer, Jason Scott; Schultze, Lisa M.
PATENT ASSIGNEE(S): Lilly Icos LLC, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000657	A2	20020103	WO 2001-US15550	20010515
WO 2002000657	A3	20020613		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2413510	A1	20020103	CA 2001-2413510	20010515
CA 2413510	C	20071211		
EP 1313736	A2	20030528	EP 2001-944135	20010515
EP 1313736	B1	20050727		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004501919	T	20040122	JP 2002-505781	20010515
AT 300543	T	20050815	AT 2001-944135	20010515
ES 2247138	T3	20060301	ES 2001-944135	20010515
US 20030181457	A1	20030925	US 2002-297735	20021206
US 6903099	B2	20050607		
MX 2002012659	A	20030922	MX 2002-12659	20021218
PRIORITY APPLN. INFO.:			US 2000-214284P	20000626
			WO 2001-US15550	20010515

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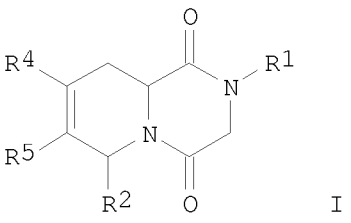
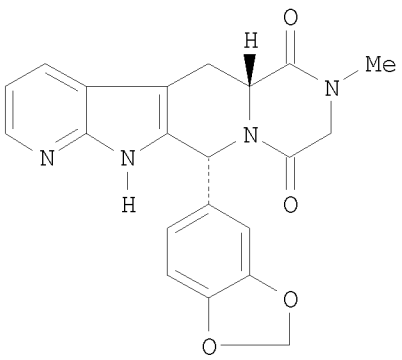


I

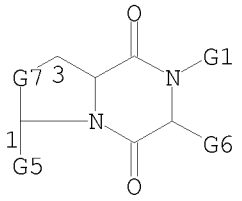


II

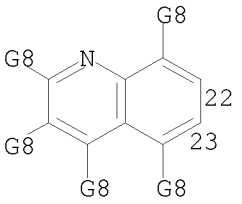
AB Heterocycles containing a 9,9a-dihydro-2H-pyrido[1,2-a]pyrazine-1,4(3H,6H)-dione subunit, such as I [R1 = H, alkyl, alkenyl, alkynyl, haloalkyl, cycloalkyl, aryl, heteroarylalkyl; R2 = Ph, thienyl, furanyl, pyridinyl, etc.; R4R5 = fused heterocyclic or carbocyclic ring], were prepared for pharmaceutical use as phosphodiesterase V inhibitors for treatment of conditions, such as erectile dysfunction and female arousal disorder. Thus, dione II was prepared via cyclocondensation of

(±)-α-amino-1H-pyrrolo[2,3-b]pyridine-3-propanoic acid Me ester with piperonal followed by N-acylation of the cyclocondensation product with ClCH2COCl and subsequent cyclocondensation of the N-acylated product with MeNH2. The prepared pyrido[1,2-a]pyrazinediones were tested for their ability to inhibit phosphodiesterase V.

MSTR 1A



G5 = quinolinyl
G7 = 22-3 23-1



Patent location: claim 1
Note: and pharmaceutically acceptable salts and solvates

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 134:193217 MARPAT
TITLE: Process for preparing biaryl compounds
INVENTOR(S): Miller, Joseph A.; Farrell, Robert P.
PATENT ASSIGNEE(S): Catalytica, Inc., USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6194599	B1	20010227	US 1997-825792	19970408
US 5922898	A	19990713	US 1997-966335	19971107

PRIORITY APPLN. INFO.: US 1997-825792 19970408
OTHER SOURCE(S): CASREACT 134:193217
AB The title process comprises reacting an arylzinc reagent with an aryl chloride in the presence of a Ni or a Pd catalyst. Thus, PhLi was treated with ZnCl and the product condensed with 4-ClC6H4CN in the presence of a

prepared Ni catalyst to give 81% 4-PhC6H4CN.

MSTR 1

G1—G1

G1 = quinolinyl / isoquinolinyl
Patent location: claim 1
Note: also incorporates broader disclosure

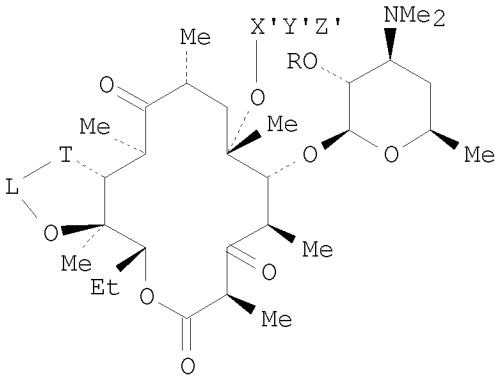
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 24 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 133:222971 MARPAT
TITLE: Preparation of 6-O-substituted macrolides erythromycin analogs having antibacterial activity
INVENTOR(S): Or, Yat Sun; Clark, Richard F.; Ma, Zhenkun; Rupp, Michael J.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055168	A1	20000921	WO 2000-US6033	20000308
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2367431	A1	20000921	CA 2000-2367431	20000308
CA 2367431	C	20080610		
EP 1161438	A1	20011212	EP 2000-913805	20000308
EP 1161438	B1	20040506		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200102522	T2	20011221	TR 2001-2522	20000308
HU 2002001067	A2	20020828	HU 2002-1067	20000308
HU 2002001067	A3	20040728		
BR 2000008731	A	20020924	BR 2000-8731	20000308
JP 2002539217	T	20021119	JP 2000-605596	20000308
NZ 513206	A	20040227	NZ 2000-513206	20000308
AT 266036	T	20040515	AT 2000-913805	20000308
ES 2222189	T3	20050201	ES 2000-913805	20000308
ZA 2001006181	A	20021026	ZA 2001-6181	20010726
IN 2001MN00926	A	20070907	IN 2001-MN926	20010801
BG 105865	A	20020531	BG 2001-105865	20010901

	NO 2001004380	A	20010910	NO 2001-4380	20010910
	MX 2001009290	A	20020225	MX 2001-9290	20010914
PRIORITY APPLN. INFO.:				US 1999-270497	19990315
				WO 2000-US6033	20000308

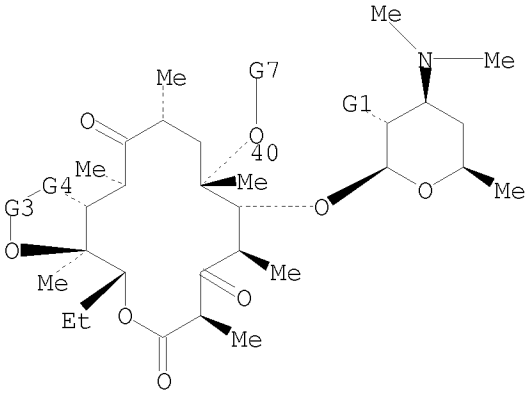
GI



I

AB The instant invention provides novel macrolide I wherein X' is selected from the group consisting of C1-C10 alkyl, C3-C10 alkenyl, and C3-C10 alkynyl; Y' and Z' are independently selected from the group consisting of: (c) optionally substituted aryl, and (d) optionally substituted heteroaryl, with the proviso that both Y' and Z' are not both Ph, and with the further proviso that Y' is not isoxazole when Z' is thiophenyl; R is a hydroxy protecting group; L is CH2, CO; T is O, NH, substituted imine; and compns. useful in treating bacterial infections. Thus, I [R = H, L = CO, T = NH, X'Y'Z' = CH2C.tplbond.C-(5-(2-pyridyl)-2-thienyl)] was prepared and tested in vitro for its antibacterial activity.

MSTR 1



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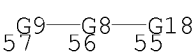

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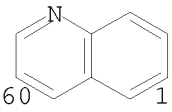


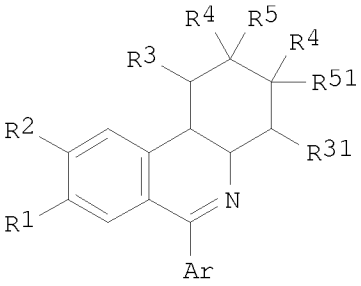
G9 = isoquinolinyl (opt. substd.)
Patent location: claim 1
Note: also incorporates claim 14
Note: or pharmaceutically acceptable salts, solvates, esters or prodrugs
Note: substitution is restricted

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 25 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 133:104972 MARPAT
TITLE: Preparation of 6-arylphenanthridines as phosphodiesterase IV inhibitors.
INVENTOR(S): Flockerzi, Dieter; Amschler, Hermann; Grundler, Gerhard; Hatzelmann, Armin; Bundschuh, Daniela; Beume, Rolf; Boss, Hildegard; Goebel, Karl-Josef; Kley, Hans-Peter; Gutterer, Beate
PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000042019	A1	20000720	WO 2000-EP152	20000112
W: AE, AL, AU, BA, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2359416	A1	20000720	CA 2000-2359416	20000112
EP 1147088	A1	20011024	EP 2000-901530	20000112
EP 1147088	B1	20060104		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
JP 2002534507	T	20021015	JP 2000-593587	20000112
AT 315029	T	20060215	AT 2000-901530	20000112
ES 2255483	T3	20060701	ES 2000-901530	20000112
US 6479505	B1	20021112	US 2001-889143	20010712
PRIORITY APPLN. INFO.:			EP 1999-100705	19990115
			WO 2000-EP152	20000112

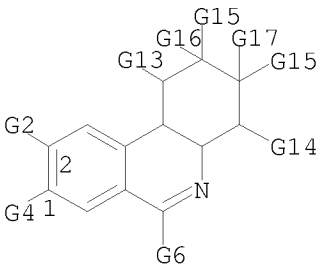
GI



I

AB Title compds. [I; R1, R2 = OH, alkoxy, cycloalkoxy, cycloalkylmethoxy, fluoroalkoxy; R1R2 = alkylenedioxy; R3, R31, R4 = H, alkyl; R3R31 = alkylene; R5, R51 = H; R5R51 = bond; Ar = specified (substituted) bi- or tricyclyl], were prepared Thus, (-)-cis-N-[2-(3,4-dimethoxyphenyl)cyclohexyl]-3,4-methylenedioxybenzamide (preparation given) was heated with POCl3 in MeCN at 80° for 3 h to give (-)-cis-6-benzo[1,3]dioxol-5-yl-8,9-dimethoxy-1,2,3,4,4a,10b-hexahydrophenanthridine. This inhibited PDE4 with -log IC50 = 7.28.

MSTR 1



G6 = quinolinyl
Derivative: or salts of N-oxides
Patent location: claim 1
Note: substitution is restricted

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 26 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 131:58654 MARPAT
TITLE: Organometallic process and catalysts for preparing biaryl compounds
INVENTOR(S): Miller, Joseph Arthur; Farrell, Robert Patrick
PATENT ASSIGNEE(S): Catalytica Pharmaceuticals, Inc., USA
SOURCE: U.S., 17 pp., Cont.-in-part of U.S. Ser. No. 825,792, abandoned.

CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5922898	A	19990713	US 1997-966335	19971107
US 6194599	B1	20010227	US 1997-825792	19970408

PRIORITY APPLN. INFO.: US 1997-825792 19970408
OTHER SOURCE(S): CASREACT 131:58654
AB The present invention provides a process for preparing biaryl compds. [e.g., 2-(4'-methylphenyl)benzonitrile] comprising reacting an arylmetal reagent selected from arylmagnesium reagents (e.g., 4-methylphenylmagnesium chloride) and aryl lithium reagents with an aryl halide (e.g., 2-chlorobenzonitrile) in the presence of a catalyst system comprising a catalyst selected from nickel catalysts (e.g., nickel acetylacetonate) and palladium catalysts and a cocatalyst selected from zinc cocatalysts (e.g., zinc chloride) and cadmium cocatalysts.

MSTR 1

G1—G2

G1 = isoquinolinyl
G2 = quinolinyl
Patent location: claim 1

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 27 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 130:196501 MARPAT
TITLE: Preparation of biaryl compounds by coupling reaction using palladium/carbon catalysts
INVENTOR(S): Noguchi, Yasuo; Saito, Toshinori; Fujimoto, Katsuhiko; Takebayashi, Toyoki
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11035514	A	19990209	JP 1997-193583	19970718

PRIORITY APPLN. INFO.: JP 1997-193583 19970718
OTHER SOURCE(S): CASREACT 130:196501
AB R1R2 [R1, R2 = (substituted) C6-10 aryl, (substituted) aromatic heterocyclyl] are prepared by reaction of R1X (R1 = same as above; X = halo) with R2ZnY (R2 = same as above; Y = halo) in organic solvents in the presence of Pd/C catalysts and phosphines. PhMgBr was treated with ZnCl2 in THF at room

temperature for 1 h, mixed with a THF solution of Pd/C, PPh3, and 4'-iodoacetophenone, and heated under reflux for 1 h to give 50% p-phenylacetophenone.

MSTR 3

G1—G5

G1 = isoquinolinyl
G5 = quinolinyl
Patent location: claim 1

L5 ANSWER 28 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 118:191764 MARPAT
TITLE: Bis mono- and bicyclic aryl and heteroaryl compounds (e.g., quinolines) which inhibit EGF and/or PDGF receptor tyrosine kinase
INVENTOR(S): Spada, Alfred P.; Maguire, Martin P.; Persons, Paul E.; Myers, Michael R.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer International (Holdings) Inc., USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9220642	A1	19921126	WO 1992-US3736	19920506
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
AU 9219934	A	19921230	AU 1992-19934	19920506
AU 658646	B2	19950427		
EP 584222	A1	19940302	EP 1992-912051	19920506
EP 584222	B1	19971008		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06507643	T	19940901	JP 1993-500068	19920506
JP 3507071	B2	20040315		
AT 159009	T	19971015	AT 1992-912051	19920506
ES 2108120	T3	19971216	ES 1992-912051	19920506
CA 2102780	C	20070109	CA 1992-2102780	19920506
US 5409930	A	19950425	US 1993-146072	19931108
US 5656643	A	19970812	US 1995-385258	19950208
US 6645969	B1	20031111	US 1995-521852	19950518
CN 1187129	A	19980708	CN 1996-194512	19960606
CN 1100540	C	20030205		
US 36256	E	19990720	US 1997-988005	19971210
US 37650	E1	20020409	US 2000-496399	20000202
US 20040014774	A1	20040122	US 2003-617342	20030710
PRIORITY APPLN. INFO.:			US 1991-698420	19910510
			WO 1992-US3736	19920506

US 1992-988515 19921210
US 1993-146072 19931108
US 1993-166199 19931210
US 1994-229886 19940419
WO 1994-US14180 19941208
US 1995-521852 19950518
US 1996-652444 19960604

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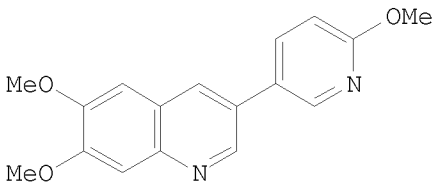

I

AB A method of using the title compds. in which a 1st ring system is (hetero)aryl, a 2nd ring system is (hetero)aryl or (hetero)carboxylic, and both ring systems are either (un)substituted monocyclic with 0-2 heteroatoms, or bicyclic with 0-4 heteroatoms, is claimed, along with pharmaceutical compns. and selected compds. Most of the prepared and claimed compds. are quinolines and quinoxalines. The compds. are designed to inhibit abnormal cell proliferation, and their use for treating psoriasis, atherosclerosis, and vascular reocclusion is claimed. For example, coupling of 2-methoxy-5-(trimethylstannyl)pyridine with 6,7-dimethoxyquinolin-3-yl trifluoromethanesulfonate (prepns. given) in refluxing dioxane containing Pd(PPh3)4 and LiCl gave pyridylquinoline derivative
I. The IC50 of I for inhibiting PDGF-R cell-free autophosphorylation was 0.030-0.070 μM.

MSTR 1L

G1—G2

G1 = isoquinolinyl (opt. substd.)
G2 = quinolinyl (opt. substd.)
Derivative: and pharmaceutically acceptable salts
Patent location: claim 3

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 29 OF 29 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 114:102392 MARPAT
TITLE: Preparation of N-phosphonomethylglycine in the presence of dipyridyl compounds
INVENTOR(S): Fields, Donald L., Jr.; Grabiak, Raymond C.; Riley, Dennis P.

PATENT ASSIGNEE(S): Monsanto Co., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4952723	A	19900828	US 1989-386738	19890731
IL 95218	A	19950124	IL 1990-95218	19900729
AU 9059939	A	19910131	AU 1990-59939	19900730
AU 621768	B2	19920319		
CA 2022248	A1	19910201	CA 1990-2022248	19900730
EP 412074	A2	19910206	EP 1990-870121	19900730
EP 412074	A3	19910522		
EP 412074	B1	19941228		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 03081281	A	19910405	JP 1990-202361	19900730
JP 06008307	B	19940202		
ZA 9005972	A	19910731	ZA 1990-5972	19900730
BR 9003702	A	19910903	BR 1990-3702	19900730
HU 209616	B	19940928	HU 1990-4696	19900731

PRIORITY APPLN. INFO.: US 1989-386738 19890731
OTHER SOURCE(S): CASREACT 114:102392
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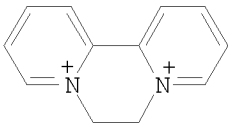


@ 2Br

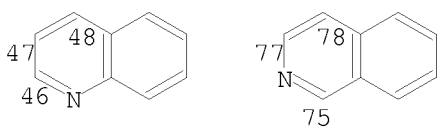
III

AB (HO)2P(O)CH2NHCH2CO2H (I) is prepared by oxidation of (HO)2P(O)CH2N(CH2CO2H)2 (II) over metal salt (complex) catalysts in the presence of a dipyridyl compound as electron transfer agent. A mixture of II, VOSO4, and salt III in H2O was heated at 75° under 6.89 + 105 N/m2 oxygen for 5.5 h to give I with 83% conversion and 94% selectivity, vs. 97.7% and 51.0%, resp., without III. Also used were 6 addnl. dipyridyl compds.

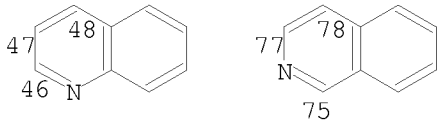
MSTR 1A

G1—G2

G1 = 46 / 47 / 48 / 77 / 78 / 75



G2 = 46 / 47 / 48 / 77 / 78 / 75



Derivative: and salts
Patent location: claim 1

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'REGISTRY' ENTERED AT 14:04:27 ON 28 JUL 2009
L1 STRUCTURE UPLOADED
L2 28 S L1 SAM
L3 602 S L1 FULL

FILE 'CA' ENTERED AT 14:04:59 ON 28 JUL 2009
L4 15 S L3

FILE 'MARPAT' ENTERED AT 14:06:12 ON 28 JUL 2009
L5 29 S L1 FULL

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---Logging off of STN---

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Executing the logoff script...

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STN INTERNATIONAL LOGOFF AT 14:07:20 ON 28 JUL 2009